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	542	CH2NH-	2-F-phenyl	2-(methylaminosulfonyl)phenyl
		SO ₂ CH ₃		
	543	CH2NH-	2-F-phenyl	1-pyrrolidinocarbonyl
		SO ₂ CH ₃	P2	- Pierosaumocarponyr
	544	CH2NH-	2-F-phenyl	2-(methylsulfonyl)phenyl
		SO ₂ CH ₃	o i pilonyi	z (mccnyradiionyi)phenyi
	545	CH2NH-	2-F-phenyl	4-morpholino
		SO ₂ CH ₃	z i pitetty i	4-morphorino
	546	CH ₂ NH-	2-F-phenvl	2 /1/ 67- 5-11 6 11 1
	240	SO ₂ CH ₃	z-r-pnenyi	2-(1'-CF3-tetrazol-2-yl)phenyl
	547		0.7.1.1	
	347	CH ₂ NH-	2-F-phenyl	4-morpholinocarbonyl
	F.4.0	SO ₂ CH ₃		
	548	CH ₂ NH-	2-F-phenyl	2-methyl-1-imidazolyl
		SO ₂ CH ₃		
	549	CH ₂ NH-	2-F-phenyl	5-methyl-1-imidazolyl
		SO_2CH_3		
	550	CH ₂ NH-	2-F-phenyl	2-methylsulfonyl-1-imidazolyl
		SO ₂ CH ₃		
	551	CH ₂ NH-	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
		SO_2CH_3		" - <u>-</u>
	552	CH2NH-	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
		SO ₂ CH ₃		
	553	CH2NH-	2,6-diF-phenyl	1-pyrrolidinocarbonyl
		SO ₂ CH ₃		F2
	554	CH2NH-	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
		SO ₂ CH ₃		- ()
	555	CH2NH-	2,6-diF-phenyl	4-morpholino
		SO ₂ CH ₃	-/ promj	1 morphorine
	556	CH2NH-	2.6-diF-phenvl	2-(1'-CF3-tetrazol-2-yl)phenyl
		SO ₂ CH ₃	a, a man phongr	2 (1 C13 CCCIG2O1 2 y1/pheny1
	557	CH2NH-	2,6-diF-phenyl	4-morpholinocarbonyl
		SO ₂ CH ₃	no arr promit	4 morphorinocarbonyr
	558	CH2NH-	2,6-diF-phenyl	2-methyl-1-imidazolyl
		SO ₂ CH ₃	z, o dir phenyi	z-mechy1=1=1midazoly1
	559	CH2NH-	2,6-diF-phenyl	5-methyl-1-imidazolyl
		SO ₂ CH ₃	z, o-air-phenyi	2-Mechy1-1-1M104201A1
	560	CH ₂ NH-	2,6-diF-phenyl	0
	200	_	z, o-dir-phenyi	2-methylsulfonyl-1-imidazolyl
-	561	SO ₂ CH ₃	-11	0.4
	562	Cl	phenyl	2-(aminosulfonyl)phenyl
	563	Cl	phenyl phenyl	2-(methylaminosulfonyl)phenyl 1-pyrrolidinocarbonyl
	564	Cl	phenyl	2-(methylsulfonyl)phenyl
	565	Cl	phenyl	4-morpholino
	566	C1	phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	567	C1	phenyl	4-morpholinocarbonyl
	568	Cl -	phenyl	2-methyl-1-imidazolyl
	569	Cl.	pheny1	5-methyl-1-imidazolyl
_	570	Cl	phenyl	2-methylsulfonyl-1-imidazolyl
	571	C1	2-pyridyl	2-(aminosulfonyl)phenyl
	572	Cl.	2-pyridyl	2-(methylaminosulfonyl)phenyl

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573	Cl	2-pyridyl	1-pyrrolidinocarbonyl
574	Cl	2-pyridyl	2-(methylsulfonyl)phenyl
575	Cl	2-pyridyl	4-morpholino
576	Cl	2-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
577	Cl	2-pyridyl	4-morpholinocarbonyl
578	Cl	2-pyridyl	2-methyl-1-imidazolyl
579	Cl	2-pyridyl	5-methyl-1-imidazolyl
580	Cl	2-pyridyl	2-methylsulfonyl-l-imidazolyl
581	Cl	3-pyridyl	2-(aminosulfonyl)phenyl
582	Cl		
583		3-pyridyl	2-(methylaminosulfonyl)phenyl
	C1	3-pyridyl	1-pyrrolidinocarbonyl
584	C1	3-pyridyl	2-(methylsulfonyl)phenyl
585	Cl	3-pyridyl	4-morpholino
586	Cl	3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
587	Cl	3-pyridyl	4-morpholinocarbonyl
588	C1	3-pyridyl	2-methyl-l-imidazolyl
589	Cl	3-pyridyl	5-methyl-l-imidazolyl
590	C1	3-pyridyl	2-methylsulfonyl-1-imidazolyl
591	Cl	2-pyrimidyl	2-(aminosulfonyl)phenyl
592	Cl	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
593	Č1	2-pyrimidyl	1-pyrrolidinocarbonyl
594	Cl	2-pyrimidyl	2-(methylsulfonyl)phenyl
595	Cl	2-pyrimidyl	4-morpholino
596	Cl	2-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
597	Cl		
598		2-pyrimidyl	4-morpholinocarbonyl
	C1	2-pyrimidyl	2-methyl-1-imidazolyl
599	Cl	2-pyrimidyl	5-methyl-1-imidazolyl
600	Cl	2-pyrimidyl	2-methylsulfonyl-1-imidazolyl
601	Cl	5-pyrimidyl	2-(aminosulfonyl)phenyl
602	C1	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
603	Cl	5-pyrimidyl	1-pyrrolidinocarbonyl
604	Cl	5-pyrimidyl	<pre>2-(methylsulfonyl)phenyl</pre>
605	Cl	5-pyrimidyl	4-morpholino
606	Cl	5-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
607	Cl	5-pyrimidyl	4-morpholinocarbonyl
608	Cl	5-pyrimidyl	2-methyl-1-imidazolyl
609	Cl	5-pyrimidyl	5-methyl-1-imidazolyl
610	Cl	5-pyrimidyl	2-methylsulfonyl-1-imidazolyl
611	Cl	2-C1-phenyl	2-(aminosulfonyl)phenyl
612	Cl	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
613	Cl	2-Cl-phenyl	1-pyrrolidinocarbonyl
614	Cl	2-C1-phenyl	2-(methylsulfonyl)phenyl
615	Cl	2-C1-phenyl	4-morpholino
616	Cl		
		2-Cl-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
617	Cl	2-Cl-phenyl	4-morpholinocarbonyl
618	Cl	2-Cl-phenyl	2-methyl-1-imidazolyl
619	Cl	2-Cl-phenyl	5-methyl-1-imidazolyl
620	Cl	2-Cl-phenyl	2-methylsulfonyl-l-imidazolyl
621	Cl	2-F-phenyl	2-(aminosulfonyl)phenyl
622	C1	2-F-phenyl	2-(methylaminosulfonyl)phenyl
623	C1	2-F-phenyl	1-pyrrolidinocarbonyl
624	Cl	2-F-phenyl	2-(methylsulfonyl)phenyl
625	Cl	2-F-phenyl	4-morpholino
626	Cl	2-F-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
627	C1		4-morpholinocarbonyl
027	CI	2-F-phenyl	4-morphorinocarbonyi

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	628	Cl	2-F-phenyl	2-methyl-1-imidazolyl
	629	Cl	2-F-phenyl	5-methyl-1-imidazolyl
	630	Cl	2-F-phenyl	2-methylsulfonyl-1-imidazolyl
	631	Cl	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
	632	Cl	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
	633	Cl	2,6-dif-phenyl	1-pyrrolidinocarbonyl
	634	Cl	2,6-dif-phenyl	
	635	Cl	2,6-diF-phenyl	2-(methylsulfonyl)phenyl 4-morpholino
	636	Cl		
	637	C1	2,6-diF-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	638		2,6-diF-phenyl	4-morpholinocarbonyl
		Cl	2,6-diF-phenyl	2-methyl-1-imidazolyl
	639	Cl	2,6-diF-phenyl	5-methyl-1-imidazolyl
	640	Cl	2,6-diF-phenyl	2-methylsulfonyl-1-imidazolyl
	641	F	phenyl	2-(aminosulfonyl)phenyl
	642	F	phenyl	2-(methylaminosulfonyl)phenyl
	643	F	phenyl	1-pyrrolidinocarbonyl
	644	F	phenyl	2-(methylsulfonyl)phenyl
	645	F	phenyl	4-morpholino
	646	F	phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	647	F	phenyl	4-morpholinocarbonyl
	648	F	phenyl	2-methyl-1-imidazolyl
	649	F	phenyl	5-methyl-1-imidazolyl
	650	F	phenyl	2-methylsulfonyl-1-imidazolyl
	651	F	2-pyridyl	2-(aminosulfonyl)phenyl
	652	F	2-pyridyl	2-(methylaminosulfonyl)phenyl
	653	F	2-pyridyl	1-pyrrolidinocarbonyl
	654	F	2-pyridyl	2-(methylsulfonyl)phenyl
	655	F	2-pyridyl	4-morpholino
	656	F	2-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	657	F	2-pyridyl	4-morpholinocarbonyl
	658	F	2-pyridyl	2-methyl-1-imidazolyl
	659	F	2-pyridyl	5-methyl-1-imidazolyl
	660	F	2-pyridyl	2-methylsulfonyl-1-imidazolyl
	661	F	3-pyridyl	2-(aminosulfonyl)phenyl
	662	F	3-pyridyl	2-(methylaminosulfonyl)phenyl
	663	F	3-pyridyl	1-pyrrolidinocarbonyl
	664	F	3-pyridyl	2-(methylsulfonyl)phenyl
	665	F	3-pyridyl	4-morpholino
	666	F	3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	667	F	3-pyridyl	4-morpholinocarbonyl
	668	F	3-pyridyl	2-methyl-1-imidazolyl
	669	F	3-pyridyl	5-methyl-1-imidazolyl
_	670	F	3-pyridyl	2-methylsulfonyl-1-imidazolyl
	671	F	2-pyrimidyl	2-(aminosulfonyl)phenyl
	672	F	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	673	F	2-pyrimidyl	1-pyrrolidinocarbonyl
	674	F	2-pyrimidyl	2-(methylsulfonyl)phenyl
	675	F	2-pyrimidyl	4-morpholino
	67 6	F	2-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	677	F	2-pyrimidyl	4-morpholinocarbonvl
	678	F .	2-pyrimidyl	2-methyl-1-imidazolyl
	679	F	2-pyrimidyl	5-methyl-1-imidazolyl
_	680	F	2-pyrimidyl	2-methylsulfonyl-1-imidazolyl
	681	F	5-pyrimidyl	2-(aminosulfonyl)phenyl
	682	F	5-pyrimidyl	2-(methylaminosulfonyl)phenyl

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W O		_ *		
	683 684	F	5-pyrimidyl	1-pyrrolidinocarbonyl
	685	F	5-pyrimidyl	2-(methylsulfonyl)phenyl
	686	F	5-pyrimidyl	4-morpholino
		-	5-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	687	F	5-pyrimidyl	4-morpholinocarbonyl
	688 689	F F	5-pyrimidyl	2-methyl-1-imidazolyl
	690	F	5-pyrimidyl	5-methyl-l-imidazolyl
	691	F	5-pyrimidyl	2-methylsulfonyl-1-imidazolyl
	692	F	2-C1-phenyl	2-(aminosulfonyl)phenyl
	693	F	2-Cl-phenyl 2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
	694	F	2-C1-phenyl	1-pyrrolidinocarbonyl 2-(methylsulfonyl)phenyl
	695	F	2-C1-phenyl	4-morpholino
	696	F	2-C1-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	697	F	2-C1-phenyl	4-morpholinocarbonyl
	698	F	2-C1-phenyl	2-methyl-1-imidazolyl
	699	F	2-C1-phenyl	5-methyl-1-imidazolyl
	700	F	2-C1-phenyl	2-methylsulfonyl-1-imidazolyl
	701	F	2-F-phenyl	2-(aminosulfonyl)phenyl
	702	F	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	703	F	2-F-phenyl	1-pyrrolidinocarbonyl
	704	F	2-F-phenyl	2-(methylsulfonyl)phenyl
	705	F	2-F-phenyl	4-morpholino
	706	F	2-F-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	707	F	2-F-phenyl	4-morpholinocarbonyl
	708	F	2-F-phenyl	2-methyl-1-imidazolyl
	709	F	2-F-phenyl	5-methyl-1-imidazolyl
_	710	F	2-F-phenyl	2-methylsulfonyl-1-imidazolyl
	711	F	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
	712	F	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
	713 714	F F	2,6-diF-phenyl	1-pyrrolidinocarbonyl
	715	F	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
	716	F	2,6-diF-phenyl 2,6-diF-phenyl	4-morpholino 2-(1'-CF3-tetrazol-2-yl)phenyl
	717	F	2,6-dif-phenyl	
	718	F		4-morpholinocarbonyl
	719	F	2,6-diF-phenyl 2,6-diF-phenyl	2-methyl-1-imidazolyl 5-methyl-1-imidazolyl
	720	F	2,6-diF-phenyl	2-methylsulfonyl-1-imidazolyl
-	721	CO ₂ CH ₃	phenyl	2-(aminosulfonyl)phenyl
	722	CO ₂ CH ₃	phenyl	2-(methylaminosulfonyl)phenyl
	723	CO ₂ CH ₃	phenyl	1-pyrrolidinocarbonyl
	724			
	725	CO ₂ CH ₃	phenyl	2-(methylsulfonyl)phenyl
		CO ₂ CH ₃	phenyl	4-morpholino
	726	CO_2CH_3	phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	727	CO_2CH_3	phenyl	4-morpholinocarbonyl
	728	CO_2CH_3	phenyl	2-methyl-1-imidazolyl
	729	CO_2CH_3	phenyl	5-methyl-1-imidazolyl
	730	CO ₂ CH ₃	phenyl	2-methylsulfonyl-1-imidazolyl
-	731	CO ₂ CH ₃	2-pyridyl	2-(aminosulfonyl)phenyl
	732	CO2CH3	2-pyridyl	2-(methylaminosulfonyl)phenyl
	733	CO ₂ CH ₃	2-pyridyl	1-pyrrolidinocarbonyl
	734	CO ₂ CH ₃	2-pyridyl 2-pyridyl	2-(methylsulfonyl)phenyl
	735		2-pyridyl 2-pyridyl	4-morpholino
	, , , ,	CO ₂ CH ₃	z-pyr ruy r	4-morbhorrno

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	736	CO_2CH_3	2-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	737	CO_2CH_3	2-pyridyl	4-morpholinocarbonyl
	738	CO_2CH_3	2-pyridyl	2-methyl-1-imidazolyl
	739	CO_2CH_3	2-pyridyl	5-methyl-1-imidazolyl
	740	CO ₂ CH ₃	2-pyridyl	2-methylsulfonyl-1-imidazolyl
	741	CO ₂ CH ₃	3-pyridyl	2-(aminosulfonyl)phenyl
	742	CO2CH3	3-pyridyl	2-(methylaminosulfonyl)phenyl
	743	CO ₂ CH ₃	3-pyridyl	1-pyrrolidinocarbonyl
	744	CO ₂ CH ₃	3-pyridyl	2-(methylsulfonyl)phenyl
	745	CO ₂ CH ₃	3-pyridyl	4-morpholino
	746	CO ₂ CH ₃	3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	747	CO ₂ CH ₃	3-pyridyl	4-morpholinocarbonyl
	748	CO ₂ CH ₃	3-pyridyl	2-methyl-1-imidazolyl
	749	CO ₂ CH ₃	3-pyridyl	5-methyl-1-imidazolyl
	750	CO ₂ CH ₃	3-pyridyl	2-methylsulfonyl-1-imidazolyl
	751	CO ₂ CH ₃	2-pyrimidyl	2-(aminosulfonyl)phenyl
	752	CO ₂ CH ₃	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	753	CO ₂ CH ₃	2-pyrimidyl	1-pyrrolidinocarbonyl
	754	CO ₂ CH ₃	2-pyrimidyl	2-(methylsulfonvl)phenvl
	755	CO ₂ CH ₃	2-pyrimidyl	4-morpholino
	756	CO ₂ CH ₃	2-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	757	CO ₂ CH ₃	2-pyrimidyl	4-morpholinocarbonyl
	758	CO ₂ CH ₃	2-pyrimidyl	2-methyl-1-imidazolyl
	759	CO ₂ CH ₃	2-pyrimidyl	5-methyl-1-imidazolyl
	760	CO ₂ CH ₃	2-pyrimidyl	2-methylsulfonyl-1-imidazolyl
•	761	CO ₂ CH ₃	5-pyrimidyl	2-(aminosulfonyl)phenyl
	762	CO ₂ CH ₃	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
	763	CO ₂ CH ₃	5-pyrimidyl	1-pyrrolidinocarbonyl
	764	CO ₂ CH ₃	5-pyrimidyl	2-(methylsulfonyl)phenyl
	765	CO ₂ CH ₃	5-pyrimidyl	4-morpholino
	766	CO ₂ CH ₃	5-pyrimidyl	2-(1'-CF3-tetrazol-2-vl)phenvl
	767	CO ₂ CH ₃	5-pyrimidyl	4-morpholinocarbonyl
	768	CO ₂ CH ₃	5-pyrimidyl	2-methyl-1-imidazolyl
	769	CO ₂ CH ₃	5-pyrimidyl	5-methyl-1-imidazolyl
	770	CO ₂ CH ₃	5-pyrimidyl	2-methylsulfonyl-1-imidazolyl
•	771	CO ₂ CH ₃	2-Cl-phenyl	2-(aminosulfonyl)phenyl
	772	CO ₂ CH ₃	2-C1-phenyl	2-(methylaminosulfonyl)phenyl
	773	CO ₂ CH ₃	2-Cl-phenyl	1-pyrrolidinocarbonyl
	774	CO ₂ CH ₃	2-Cl-phenyl	2-(methylsulfonyl)phenyl
	775	CO ₂ CH ₃	2-Cl-phenyl	4-morpholino
	776	CO2CH3	2-Cl-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	777	CO ₂ CH ₃	2-Cl-phenyl	4-morpholinocarbonyl
	778	CO ₂ CH ₃	2-Cl-phenyl	2-methyl-1-imidazolyl
	779	CO ₂ CH ₃	2-C1-phenyl	5-methyl-1-imidazolyl
	780	CO ₂ CH ₃	2-C1-phenyl	2-methylsulfonyl-1-imidazolyl
-	781	CO ₂ CH ₃	2-F-phenyl	2-(aminosulfonyl)phenyl
	782	CO ₂ CH ₃	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	783	CO ₂ CH ₃	2-F-phenyl 2-F-phenyl	1-pyrrolidinocarbonyl
	705	соусп3	∑-t-buenAt	r-pyrrorramocarbonyl

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784	CO2CH3	2-F-phenyl	2-(methylsulfa	nvl)nhenvl

	784	CO ₂ CH ₃	2-F-phenyl	2-(methylsulfonyl)phenyl
	785	CO ₂ CH ₃	2-F-phenyl	4-morpholino
	786	CO ₂ CH ₃	2-F-phenyl	2-(1'-CF3-tetrazol-2-y1)phenyl
	787	CO2CH3	2-F-phenyl	4-morpholinocarbonyl
	788	CO ₂ CH ₃	2-F-phenyl	2-methyl-1-imidazolvl
	789	CO ₂ CH ₃	2-F-phenyl	5-methyl-1-imidazolyl
	790	CO ₂ CH ₃	2-F-phenyl	2-methylsulfonyl-1-imidazolyl
	791	CO ₂ CH ₃	2,6-diF-phenyl	
	792	CO ₂ CH ₃	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
	793	CO ₂ CH ₃	2,6-diF-phenvl	1-pyrrolidinocarbonyl
	794	CO ₂ CH ₃	2,6-diF-phenyl	
	795	CO ₂ CH ₃	2,6-diF-phenyl	4-morpholino
	796	CO ₂ CH ₃	2,6-diF-phenyl	
	797	CO ₂ CH ₃	2,6-diF-phenyl	4-morpholinocarbonyl
	798	CO ₂ CH ₃	2,6-diF-phenyl	2-methyl-1-imidazolyl
	799	CO ₂ CH ₃	2,6-diF-phenyl	5-methyl-1-imidazolyl
	800	CO ₂ CH ₃	2,6-diF-phenyl	2-methylsulfonyl-1-imidazolyl
	801	CH ₂ OCH ₃	phenyl	2-(aminosulfonyl)phenyl
	802	CH ₂ OCH ₃	phenyl	2-(methylaminosulfonyl)phenyl
	803	CH ₂ OCH ₃	phenyl	1-pyrrolidinocarbonyl
	804	CH2OCH3	phenyl	2-(methylsulfonyl)phenyl
	805	CH ₂ OCH ₃	phenyl	4-morpholino
	806	CH ₂ OCH ₃	phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	807	CH ₂ OCH ₃	phenyl	4-morpholinocarbonyl
	808	CH ₂ OCH ₃	phenyl	2-methyl-1-imidazolyl
	809	CH ₂ OCH ₃	phenyl	5-methyl-1-imidazolyl
٠.	810	CH ₂ OCH ₃	phenyl	2-methylsulfonyl-1-imidazolyl
	811	CH ₂ OCH ₃	2-pyridyl	2-(aminosulfonyl)phenyl
	812	CH_2OCH_3	2-pyridyl	2-(methylaminosulfonyl)phenyl
	813	CH ₂ OCH ₃	2-pyridyl	1-pyrrolidinocarbonyl
	814	CH ₂ OCH ₃	2-pyridyl	2-(methylsulfonyl)phenyl
	815	CH ₂ OCH ₃	2-pyridyl	4-morpholino
	816	CH ₂ OCH ₃	2-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	817	CH2OCH3	2-pyridyl	4-morpholinocarbonyl
	818	CH ₂ OCH ₃	2-pyridyl	2-methyl-1-imidazolyl
	819	CH ₂ OCH ₃	2-pyridyl	5-methyl-1-imidazolyl
	820	CH ₂ OCH ₃	2-pyridyl	2-methylsulfonyl-1-imidazolyl
	821	CH ₂ OCH ₃	3-pyridyl	2-(aminosulfonyl)phenyl
	822	CH ₂ OCH ₃	3-pyridyl	2-(methylaminosulfonyl)phenyl
	823	CH ₂ OCH ₃	3-pyridyl	1-pyrrolidinocarbonyl
	824	CH ₂ OCH ₃	3-pyridyl	2-(methylsulfonyl)phenyl
	825	CH ₂ OCH ₃	3-pyridyl	4-morpholino
	826	CH_2OCH_3	3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	827	CH_2OCH_3	3-pyridyl	4-morpholinocarbonyl
	828	CH ₂ OCH ₃	3-pyridyl	2-methyl-1-imidazolyl
	829	CH_2OCH_3	3-pyridyl	5-methyl-1-imidazolyl
_	830	CH ₂ OCH ₃	3-pyridyl	2-methylsulfonyl-1-imidazolyl
	831	CH ₂ OCH ₃	2-pyrimidyl	2-(aminosulfonyl)phenyl

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	832	CH ₂ OCH ₃	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	833	CH ₂ OCH ₃		1-pyrrolidinocarbonyl
	834	CH2OCH3	2-pyrimidyl	2-(methylsulfonyl)phenyl
	835	CH2OCH3	2-pyrimidyl	4-morpholino
	836	CH ₂ OCH ₃	2-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	837	CH ₂ OCH ₃	2-pyrimidyl	4-morpholinocarbonyl
	838	CH ₂ OCH ₃		2-methyl-1-imidazolyl
	839	CH2OCH3		5-methyl-1-imidazolyl
	840	CH2OCH3		2-methylsulfonyl-1-imidazolyl
	841	CH ₂ OCH ₃		2-(aminosulfonyl)phenyl
	842	CH ₂ OCH ₃		2-(methylaminosulfonyl)phenyl
	843	CH ₂ OCH ₃		1-pyrrolidinocarbonyl
	844	CH ₂ OCH ₃		2-(methylsulfonyl)phenyl
	845	CH ₂ OCH ₃		4-morpholino
	846	CH ₂ OCH ₃		2-(1'-CF3-tetrazol-2-yl)phenyl
	847	CH2OCH3	5-pyrimidyl	4-morpholinocarbonyl
	848	CH ₂ OCH ₃	5-pyrimidyl	2-methyl-1-imidazolyl
	849	CH ₂ OCH ₃	5-pyrimidyl	5-methyl-1-imidazolyl
	850	CH ₂ OCH ₃	5-pyrimidyl	2-methylsulfonyl-1-imidazolyl
	851	CH2OCH3	2-Cl-phenyl	2-(aminosulfonyl)phenyl
	852	CH ₂ OCH ₃	2-C1-phenyl	2-(methylaminosulfonyl)phenyl
	853	CH ₂ OCH ₃	2-Cl-phenyl	1-pyrrolidinocarbonyl
	854	CH ₂ OCH ₃	2-Cl-phenyl	2-(methylsulfonyl)phenyl
	855	CH ₂ OCH ₃	2-C1-phenyl	4-morpholino
	856	CH ₂ OCH ₃	2-C1-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	857	CH ₂ OCH ₃	2-Cl-phenyl	4-morpholinocarbonyl
	858	CH ₂ OCH ₃	2-Cl-phenyl	2-methyl-1-imidazolyl
	859	CH ₂ OCH ₃	2-Cl-phenyl	5-methyl-1-imidazolyl
	860	CH ₂ OCH ₃	2-Cl-phenyl	2-methylsulfonyl-1-imidazolyl
	861	CH ₂ OCH ₃	2-F-phenyl	2-(aminosulfonyl)phenyl
	862	CH ₂ OCH ₃	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	863	CH ₂ OCH ₃	2-F-phenyl 2-F-phenyl	1-pyrrolidinocarbonyl
	864	CH ₂ OCH ₃	2-F-phenyl	
	865	CH ₂ OCH ₃		2-(methylsulfonyl)phenyl
	866	CH ₂ OCH ₃	2-F-phenyl	4-morpholino
	867	CH ₂ OCH ₃	2-F-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	868	CH ₂ OCH ₃	2-F-phenyl	4-morpholinocarbonyl
	869	CH ₂ OCH ₃	2-F-phenyl	2-methyl-1-imidazolyl
	870		2-F-phenyl	5-methyl-1-imidazolyl
	871	CH ₂ OCH ₃	2-F-phenyl	2-methylsulfonyl-1-imidazolyl
	871	CH ₂ OCH ₃	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
		CH ₂ OCH ₃	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
	873	CH ₂ OCH ₃	2,6-diF-phenyl	1-pyrrolidinocarbonyl
	874	CH ₂ OCH ₃	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
	875	CH ₂ OCH ₃	2,6-diF-phenyl	4-morpholino
	876	CH ₂ OCH ₃	2,6-diF-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	877	CH_2OCH_3	2,6-diF-phenyl	4-morpholinocarbonyl
	878	CH_2OCH_3	2,6-diF-phenyl	2-methyl-1-imidazolyl
	879	CH_2OCH_3	2,6-diF-phenyl	5-methyl-1-imidazolyl

	880	CH ₂ OCH ₃	2,6-diF-phenyl	2-methylsulfonyl-1-imidazolyl
	881	CONH ₂	phenyl	2-(aminosulfonyl)phenyl
	882	CONH ₂	phenyl	2-(methylaminosulfonyl)phenyl
	883	CONH ₂	phenyl	1-pyrrolidinocarbonyl
	884	CONH ₂	phenyl	2-(methylsulfonyl)phenyl
	885	CONH ₂	phenyl	4-morpholino
	886	CONH ₂	phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	887	CONH ₂	phenyl	4-morpholinocarbonyl
	888	CONH ₂	phenyl	2-methyl-1-imidazolyl
	889	CONH ₂	phenyl	5-methyl-1-imidazolyl
	890	CONH ₂	phenyl	2-methylsulfonyl-1-imidazolyl
	891	CONH ₂	2-pyridyl	2-(aminosulfonyl)phenyl
	892	$CONH_2$	2-pyridyl	2-(methylaminosulfonyl)phenyl
	8 9 3	CONH ₂	2-pyridyl	1-pyrrolidinocarbonyl
	894	CONH ₂	2-pyridyl	2-(methylsulfonyl)phenyl
	895	CONH ₂	2-pyridyl	4-morpholino
	896	CONH ₂	2-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	897	CONH ₂	2-pyridyl	4-morpholinocarbonyl
	898	CONH ₂	2-pyridyl	2-methyl-1-imidazolyl
	899	CONH ₂	2-pyridyl	5-methyl-1-imidazolyl
	900	CONH ₂	2-pyridyl	2-methylsulfonyl-1-imidazolyl
	901	CONH ₂	3-pyridyl	2-(aminosulfonyl)phenyl
	902	CONH ₂	3-pyridyl	2-(methylaminosulfonyl)phenyl
	903	CONH ₂	3-pyridyl	1-pyrrolidinocarbonyl
	904	CONH ₂	3-pyridyl	2-(methylsulfonyl)phenyl
	905	CONH ₂	3-pyridyl	4-morpholino
	906	CONH ₂	3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	907	CONH ₂	3-pyridyl	4-morpholinocarbonyl
	908	CONH ₂	3-pyridyl	2-methyl-1-imidazolyl
	909	CONH ₂	3-pyridyl	5-methyl-1-imidazolyl
_	910	CONH ₂	3-pyridyl	2-methylsulfonyl-1-imidazolyl
	911	CONH ₂	2-pyrimidyl	2-(aminosulfonyl)phenyl
	912	CONH ₂	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	913	CONH ₂	2-pyrimidyl	1-pyrrolidinocarbonyl
	914	CONH ₂	2-pyrimidyl	2-(methylsulfonyl)phenyl
	915	CONH ₂	2-pyrimidyl	4-morpholino
	916	CONH ₂	2-pyrimidyl	2-(1'-CF3-tetrazol-2-y1)phenyl
	917	CONH ₂	2-pyrimidyl	4-morpholinocarbonyl
	918	CONH ₂	2-pyrimidyl	2-methyl-1-imidazolyl
	91 9	CONH ₂	2-pyrimidyl	5-methyl-1-imidazolyl
-	920	CONH ₂	2-pyrimidyl	2-methylsulfonyl-1-imidazolyl
	921	CONH ₂	5-pyrimidyl	2-(aminosulfonyl)phenyl
	922	CONH ₂	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
	923	CONH ₂	5-pyrimidyl	1-pyrrolidinocarbonyl
	924	CONH ₂	5-pyrimidyl	2-(methylsulfonyl)phenyl
	925	CONH ₂	5-pyrimidyl	4-morpholino
	926	CONH ₂	5-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	927	CONH ₂	5-pyrimidyl	4-morpholinocarbonyl

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	928	CONH ₂	5-pyrimidyl	2-methyl-1-imidazolyl
	929	CONH ₂	5-pyrimidyl	5-methyl-1-imidazolyl
	930	CONH ₂	5-pyrimidyl	2-methylsulfonyl-1-imidazolyl
	931	CONH ₂	2-Cl-phenyl	2-(aminosulfonyl)phenyl
	932	$CONH_2$	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
	933	CONH ₂	2-Cl-phenyl	1-pyrrolidinocarbonyl
	934	CONH ₂	2-Cl-phenyl	2-(methylsulfonyl)phenyl
	935	$CONH_2$	2-Cl-phenyl	4-morpholino
	936	$CONH_2$	2-Cl-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	937	$CONH_2$	2-Cl-phenyl	4-morpholinocarbonyl
	938	CONH ₂	2-Cl-phenyl	2-methyl-1-imidazolyl
	939	CONH ₂	2-Cl-phenyl	5-methyl-1-imidazolyl
	940	CONH ₂	2-Cl-phenyl	2-methylsulfonyl-1-imidazolyl
	941	CONH ₂	2-F-phenyl	2-(aminosulfonyl)phenyl
	942	$CONH_2$	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	943	$CONH_2$	2-F-phenyl	1-pyrrolidinocarbonyl
	944	CONH ₂	2-F-phenyl	<pre>2-(methylsulfonyl)phenyl</pre>
	945	CONH ₂	2-F-phenyl	4-morpholino
	946	CONH ₂	2-F-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	947	CONH ₂	2-F-phenyl	4-morpholinocarbonyl
	948	CONH ₂	2-F-phenyl	2-methyl-1-imidazolyl
	949	CONH ₂	2-F-phenyl	5-methyl-1-imidazolyl
	950	CONH ₂	2-F-phenyl	2-methylsulfonyl-1-imidazolyl
	951	CONH ₂	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
	952	$CONH_2$	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
	953	$CONH_2$	2,6-diF-phenyl	1-pyrrolidinocarbonyl
	954	$CONH_2$	2,6-diF-phenyl	<pre>2-(methylsulfonyl)phenyl</pre>
	955	CONH ₂	2,6-diF-phenyl	4-morpholino
	956	CONH ₂	2,6-diF-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	957	CONH ₂	2,6-diF-phenyl	4-morpholinocarbonyl
	958	CONH ₂	2,6-diF-phenyl	2-methyl-1-imidazolyl
	959	CONH ₂	2,6-diF-phenyl	5-methyl-1-imidazolyl
	960	CONH ₂	2,6-diF-phenyl	2-methylsulfonyl-1-imidazolyl

Ex #	R1a	A	В
1	CH ₃	phenyl	2-(aminosulfonyl)phenyl
2	CH_3	phenyl	2-(methylaminosulfonyl)phenyl
3	CH_3	phenyl	1-pyrrolidinocarbonyl
4	CH_3	phenyl	2-(methylsulfonyl)phenyl
5	CH_3	phenyl	4-morpholino
6	CH_3	phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
7	CH ₃	phenyl	4-morpholinocarbonyl
8	CH_3	phenyl	2-methyl-1-imidazolyl
9	CH ₃	phenyl	5-methyl-1-imidazolyl
10	CH ₃	phenyl	2-methylsulfonyl-1-imidazolyl
11	CH ₃	2-pyridyl	2-(aminosulfonyl)phenyl
12	CH_3	2-pyridyl	2-(methylaminosulfonyl)phenyl
13	CH_3	2-pyridyl	1-pyrrolidinocarbonyl
14	CH ₃	2-pyridyl	2-(methylsulfonyl)phenyl
15	CH ₃	2-pyridyl	4-morpholino
16	CH ₃	2-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
17	CH ₃	2-pyridyl	4-morpholinocarbonyl
18	CH ₃	2-pyridyl	2-methyl-1-imidazolyl
19	CH ₃	2-pyridyl	5-methyl-1-imidazolyl
20	CH_3	2-pyridyl	2-methylsulfonyl-1-imidazolyl
21	CH ₃	3-pyridyl	2-(aminosulfonyl)phenyl
22	CH ₃	3-pyridyl	2-(methylaminosulfonyl)phenyl
23	CH ₃	3-pyridyl	1-pyrrolidinocarbonyl
24	CH ₃	3-pyridyl	2-(methylsulfonyl)phenyl
25	CH ₃	3-pyridyl	4-morpholino

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	26	CH ₃	3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	27	CH_3	3-pyridyl	4-morpholinocarbonyl
	28	CH_3	3-pyridyl	2-methyl-1-imidazolyl
	29	CH_3	3-pyridyl	5-methyl-1-imidazolyl
	30	CH_3	3-pyridyĺ	2-methylsulfonyl-1-imidazolyl
	31	CH ₃	2-pyrimidyl	2-(aminosulfonyl)phenyl
	32	CH ₃	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	33	CH ₃	2-pyrimidyl	1-pyrrolidinocarbonyl
	34	CH_3	2-pyrimidyl	2-(methylsulfonyl)phenyl
	35	CH_3	2-pyrimidyl	4-morpholino
	36	CH ₃	2-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	37	CH ₃	2-pyrimidyl	4-morpholinocarbonyl
	38	CH_3	2-pyrimidyl	2-methyl-1-imidazolyl
	39	CH ₃	2-pyrimidyl	5-methyl-1-imidazolyl
	40	CH_3	2-pyrimidyl	2-methylsulfonyl-1-imidazolyl
	41	CH ₃	5-pyrimidyl	2-(aminosulfonyl)phenyl
	42	CH ₃	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
	43	CH ₃	5-pyrimidyl	1-pyrrolidinocarbonyl
	44	CH ₃	5-pyrimidyl	2-(methylsulfonyl)phenyl
	45	CH ₃	5-pyrimidyl	4-morpholino
	46	CH ₃	5-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	47	CH ₃	5-pyrimidyl	4-morpholinocarbonyl
	48	CH ₃	5-pyrimidyl	2-methyl-1-imidazolyl
	49	CH ₃	5-pyrimidyl	5-methyl-1-imidazolyl
	50	CH ₃	5-pyrimidyl	2-methylsulfonyl-1-imidazolyl
	51	CH ₃	2-C1-phenyl	2-(aminosulfonyl)phenyl
	52	CH ₃	2-C1-phenyl	2-(methylaminosulfonyl)phenyl
	5 3	CH ₃	2-C1-phenyl	1-pyrrolidinocarbonyl
	54	CH ₃	2-C1-phenyl	2-(methylsulfonyl)phenyl
	55	CH ₃	2-Cl-phenyl	4-morpholino
	56	CH_3	2-Cl-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	57	CH_3	2-C1-phenyl	4-morpholinocarbonyl
	58	CH_3	2-C1-phenyl	2-methyl-1-imidazolyl
	59	CH ₃	2-C1-phenyl	5-methyl-1-imidazolyl
	60	CH_3	2-Cl-phenyl	2-methylsulfonyl-1-imidazolyl
	61	CH ₃	2-F-phenyl	2-(aminosulfonyl)phenyl
	62	CH ₃	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	63	CH ₃	2-F-phenyl	1-pyrrolidinocarbonyl
	64	CH ₃	2-F-phenyl	2-(methylsulfonyl)phenyl
	65	CH ₃	2-F-phenyl	4-morpholino
	66	CH ₃	2-F-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	67	CH_3	2-F-phenyl	4-morpholinocarbonyl
	68	CH ₃	2-F-phenyl	2-methyl-1-imidazolyl
	69	CH ₃	2-F-phenyl	5-methyl-1-imidazolyl
_	70	CH ₃	2-F-phenyl	2-methylsulfonyl-1-imidazolyl
	71	CH ₃	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
	72	CH ₃	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
	73	CH ₃	2,6-diF-phenyl	1-pyrrolidinocarbonyl

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	74	CH ₃	2,6-diF-phenyl	2-(methylsulfonyl)phenyl	
	75	CH ₃	2,6-diF-phenyl	4-morpholino	
	76	CH ₃	2,6-diF-phenyl		
	77	CH ₃	2,6-diF-phenyl	4-morpholinocarbonyl	
	78	CH ₃	2,6-diF-phenyl	2-methyl-1-imidazolyl	
	79	CH ₃	2,6-diF-phenyl	5-methyl-1-imidazolyl	
	80	CH ₃	2,6-diF-phenyl	2-methylsulfonyl-1-imidazolyl	
	81	CH_2CH_3	phenyl	2-(aminosulfonyl)phenyl	
	82	CH_2CH_3	phenyl	2-(methylaminosulfonyl)phenyl	
	83	CH_2CH_3	phenyl	1-pyrrolidinocarbonyl	
	84	CH ₂ CH ₃	phenyl	2-(methylsulfonyl)phenyl	
	85	CH_2CH_3	phenyl	4-morpholino	
	86	CH_2CH_3	phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl	
	87	CH_2CH_3	phenyl	4-morpholinocarbonyl	
	88	CH_2CH_3	phenyl	2-methyl-1-imidazolyl	
	89	CH_2CH_3	phenyl	5-methyl-1-imidazolyl	
_	90	CH ₂ CH ₃	phenyl	2-methylsulfonyl-1-imidazolyl	
	91	CH_2CH_3	2-pyridyl	2-(aminosulfonyl)phenyl	
	92	CH ₂ CH ₃	2-pyridyl	2-(methylaminosulfonyl)phenyl	
	9 3	CH_2CH_3	2-pyridyl	1-pyrrolidinocarbonyl	
	94	CH ₂ CH ₃	2-pyridyl	2-(methylsulfonyl)phenyl	
	95	CH_2CH_3	2-pyridyl	4-morpholino	
	96	CH_2CH_3	2-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl	
	97	CH ₂ CH ₃	2-pyridyl	4-morpholinocarbonyl	
	98	CH ₂ CH ₃	2-pyridyl	2-methyl-1-imidazolyl	
	99	CH ₂ CH ₃	2-pyridyl	5-methyl-1-imidazolyl	
-	100	CH ₂ CH ₃	2-pyridyl	2-methylsulfonyl-1-imidazolyl	
	101	CH ₂ CH ₃	3-pyridyl	2-(aminosulfonyl)phenyl	
	102	CH ₂ CH ₃	3-pyridyl	2-(methylaminosulfonyl)phenyl	
	103	CH ₂ CH ₃	3-pyridyl	1-pyrrolidinocarbonyl	
	105	CH ₂ CH ₃	3-pyridyl	2-(methylsulfonyl)phenyl	
	105	CH ₂ CH ₃	3-pyridyl	4-morpholino	
	107	CH ₂ CH ₃ CH ₂ CH ₃	3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl	
	108	CH ₂ CH ₃	3-pyridyl 3-pyridyl	4-morpholinocarbonyl	
	109	CH ₂ CH ₃	3-pyridyl 3-pyridyl	2-methyl-1-imidazolyl	
	110	CH ₂ CH ₃	3-pyridyl 3-pyridyl	5-methyl-1-imidazolyl	
-	111	CH ₂ CH ₃	2-pyrimidyl	2-methylsulfonyl-1-imidazolyl	
	112	CH ₂ CH ₃ CH ₂ CH ₃	2-pyrimidyl 2-pyrimidyl	2-(aminosulfonyl)phenyl 2-(methylaminosulfonyl)phenyl	
	113	CH ₂ CH ₃	2-pyrimidyl 2-pyrimidyl		
	114	CH ₂ CH ₃ CH ₂ CH ₃	2-pyrimidyl 2-pyrimidyl	1-pyrrolidinocarbonyl 2-(methylsulfonyl)phenyl	
	115	CH ₂ CH ₃	2-pyrimidyl 2-pyrimidyl	2-(metnylsulfonyl)phenyl 4-morpholino	
	116	CH ₂ CH ₃	2-pyrimidyl 2-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl	
	117	CH ₂ CH ₃	2-pyrimidyl 2-pyrimidyl	4-morpholinocarbonyl	
	118	CH ₂ CH ₃	2-pyrimidyl 2-pyrimidyl	4-morpholinocarbonyl 2-methyl-1-imidazolyl	
	119	CH ₂ CH ₃	2-pyrimidyl 2-pyrimidyl	z-methyl-1-imidazolyl 5-methyl-1-imidazolyl	
	120	CH ₂ CH ₃	2-pyrimidyl 2-pyrimidyl	2-methylsulfonyl-1-imidazolyl	
-	121	CH ₂ CH ₃	5-pyrimidyl	2-methylsulfonyl-1-imidazolyl 2-(aminosulfonyl)phenyl	
		C112C113	2-barmmaat	z-(aminosurronyr)pnenyr	

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	122	CH ₂ CH ₃	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
	123	CH ₂ CH ₃	5-pyrimidyl	1-pyrrolidinocarbonyl
	124	CH ₂ CH ₃	5-pyrimidyl	2-(methylsulfonyl)phenyl
	125	CH_2CH_3	5-pyrimidyl	4-morpholino
	126	CH ₂ CH ₃	5-pyrimidýl	2-(1'-CF3-tetrazol-2-yl)phenyl
	127	CH_2CH_3	5-pyrimidyl	4-morpholinocarbonyl
	128	CH ₂ CH ₃	5-pyrimidyl	2-methyl-1-imidazolyl
	129	CH_2CH_3	5-pyrimidyl	5-methyl-1-imidazolyl
	130	CH_2CH_3	5-pyrimidyl	2-methylsulfonyl-1-imidazolyl
	131	CH ₂ CH ₃	2-Cl-phenyl	2-(aminosulfonyl)phenyl
	132	CH ₂ CH ₃	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
	133	CH_2CH_3	2-Cl-phenyl	1-pyrrolidinocarbonyl
	134	CH_2CH_3	2-Cl-phenyl	2-(methylsulfonyl)phenyl
	135	CH ₂ CH ₃	2-Cl-phenyl	4-morpholino
	136	CH_2CH_3	2-Cl-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	137	CH_2CH_3	2-Cl-phenyl	4-morpholinocarbonyl
	138	CH ₂ CH ₃	2-Cl-phenyl	2-methyl-1-imidazolyl
	139	CH_2CH_3	2-Cl-phenyl	5-methyl-1-imidazolyl
	140	CH_2CH_3	2-Cl-phenyl	2-methylsulfonyl-1-imidazolyl
	141	CH ₂ CH ₃	2-F-phenyl	2-(aminosulfonyl)phenyl
	142	CH_2CH_3	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	143	CH ₂ CH ₃	2-F-phenyl	1-pyrrolidinocarbonyl
	144	CH_2CH_3	2-F-phenyl	2-(methylsulfonyl)phenyl
	145	CH_2CH_3	2-F-phenyl	4-morpholino
	146	CH_2CH_3	2-F-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	147	CH_2CH_3	2-F-phenyl	4-morpholinocarbonyl
	148	CH_2CH_3	2-F-phenyl	2-methyl-1-imidazolyl
	149	CH_2CH_3	2-F-phenyl	5-methyl-1-imidazolyl
	150	CH ₂ CH ₃	2-F-phenyl	2-methylsulfonyl-1-imidazolyl
	151	CH_2CH_3	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
	152	CH_2CH_3	2,6-diF-phenyl	<pre>2-(methylaminosulfonyl)phenyl</pre>
	153	CH_2CH_3	2,6-diF-phenyl	1-pyrrolidinocarbonyl
	154	CH ₂ CH ₃	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
	155	CH_2CH_3	2,6-diF-phenyl	4-morpholino
	156	CH_2CH_3	2,6-diF-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	157	CH ₂ CH ₃	2,6-diF-phenyl	4-morpholinocarbonyl
	158	CH_2CH_3	2,6-diF-phenyl	2-methyl-1-imidazolyl
	159	CH ₂ CH ₃	2,6-diF-phenyl	5-methyl-1-imidazolyl
_	160	CH ₂ CH ₃	2,6-diF-phenyl	2-methylsulfonyl-1-imidazolyl
	161	CF ₃	phenyl	2-(aminosulfonyl)phenyl
	162	CF ₃	phenyl	2-(methylaminosulfonyl)phenyl
	163	CF ₃	pheny1	1-pyrrolidinocarbonyl
	164	CF ₃	phenyl	2-(methylsulfonyl)phenyl
	165	CF ₃	phenyl	4-morpholino
	166	CF ₃	phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	167	CF ₃	phenyl	4-morpholinocarbonyl
	168	CF ₃	phenyl	2-methyl-1-imidazolyl
	169	CF ₃	phenyl	5-methyl-1-imidazolyl

170	CF3 *	phenyl	2-methylsulfonyl-1-imidazolyl
171	CF ₃	2-pyridyl	2-(aminosulfonyl)phenyl
172	CF ₃	2-pyridyl	2-(methylaminosulfonyl)phenyl
173	CF ₃	2-pyridyl	1-pyrrolidinocarbonyl
174	CF ₃	2-pyridyl	2-(methylsulfonyl)phenyl
175	CF3	2-pyridyl	4-morpholino
176	CF3	2-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
177	CF3	2-pyridyl	4-morpholinocarbonyl
178	CF3	2-pyridyl	2-methyl-1-imidazolyl
179	CF ₃	2-pyridyl	5-methyl-1-imidazolyl
180	CF ₃	2-pyridyl	2-methylsulfonyl-1-imidazolyl
181	CF ₃	3-pyridyl	2-(aminosulfonyl)phenyl
182	CF ₃	3-pyridyl	2-(methylaminosulfonyl)phenyl
183	CF3	3-pyridyl	1-pyrrolidinocarbonyl
184	CF ₃	3-pyridyl	2-(methylsulfonyl)phenyl
185	CF ₃	3-pyridyl	4-morpholino
186	CF3	3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
187	CF ₃	3-pyridyl	4-morpholinocarbonyl
188	CF3	3-pyridyl	2-methyl-1-imidazolyl
189	CF3	3-pyridyl	5-methyl-1-imidazolyl
190	CF3	3-pyridyl	2-methylsulfonyl-1-imidazolyl
191	CF3	2-pyrimidyl	2-(aminosulfonyl)phenyl
192	CF3	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
193	CF3	2-pyrimidyl	1-pyrrolidinocarbonyl
194	CF ₃	2-pyrimidyl	2-(methylsulfonyl)phenyl
195	CF3	2-pyrimidyl	4-morpholino
196	CF ₃	2-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
197	CF ₃	2-pyrimidyl	4-morpholinocarbonyl
198	CF ₃	2-pyrimidyl	2-methyl-1-imidazolyl
199	CF ₃	2-pyrimidyl	5-methyl-1-imidazolyl
200	CF ₃	2-pyrimidyl	2-methylsulfonyl-1-imidazolyl
201	CF ₃	5-pyrimidyl	2-(aminosulfonyl)phenyl
202	CF ₃	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
203	CF ₃	5-pyrimidyl	1-pyrrolidinocarbonyl
204	CF ₃	5-pyrimidyl	2-(methylsulfonyl)phenyl
205	CF ₃	5-pyrimidyl	4-morpholino
206	CF ₃	5-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
207	CF ₃	5-pyrimidyl	4-morpholinocarbonyl
208	CF ₃	5-pyrimidyl	2-methyl-1-imidazolyl
209	CF ₃	5-pyrimidyl	5-methyl-1-imidazolyl
210	CF ₃	5-pyrimidyl	2-methylsulfonyl-1-imidazolyl
211	CF ₃	2-C1-phenyl	2-(aminosulfonyl)phenyl
212	CF ₃	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
213	CF ₃	2-Cl-phenyl	1-pyrrolidinocarbonyl
214	CF ₃	2-Cl-phenyl	2-(methylsulfonyl)phenyl
215	CF ₃	2-Cl-phenyl	4-morpholino
216	CF ₃	2-Cl-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
217	CF ₃	2-Cl-phenyl	4-morpholinocarbonyl

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	218	CF ₃	2-Cl-phenyl	2-methyl-1-imidazolyl
	219	CF ₃	2-Cl-phenyl	5-methyl-1-imidazolyl
	220	CF ₃	2-Cl-phenyl	2-methylsulfonyl-1-imidazolyl
	221	CF ₃	2-F-phenyl	2-(aminosulfonyl)phenyl
	222	CF ₃	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	223	CF ₃	2-F-phenyl	1-pyrrolidinocarbonyl
	224	CF ₃	2-F-phenyl	2-(methylsulfonyl)phenyl
	225	CF ₃	2-F-phenyl	4-morpholino
	226	CF ₃	2-F-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	227	CF ₃	2-F-phenyl	4-morpholinocarbonyl
	228	CF ₃	2-F-phenyl	2-methyl-1-imidazolyl
	229	CF ₃	2-F-phenyl	5-methyl-1-imidazolyl
	230	CF ₃	2-F-phenyl	2-methylsulfonyl-1-imidazolyl
	231	CF ₃	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
	232	CF_3	2,6-diF-phenyl	<pre>2-(methylaminosulfonyl)phenyl</pre>
	233	CF_3	2,6-diF-phenyl	1-pyrrolidinocarbonyl
	234	CF ₃	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
	235	CF ₃	2,6-diF-phenyl	4-morpholino
	236	CF ₃	2,6-diF-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	237	CF ₃	2,6-diF-phenyl	4-morpholinocarbonyl
	238	CF ₃	2,6-diF-phenyl	2-methyl-1-imidazolyl
	239	CF ₃	2,6-diF-phenyl	5-methyl-1-imidazolyl
	240	CF ₃	2,6-diF-phenyl	2-methylsulfonyl-1-imidazolyl
	241	SCH ₃	phenyl	2-(aminosulfonyl)phenyl
	242	SCH ₃	phenyl	2-(methylaminosulfonyl)phenyl
	243	SCH ₃	phenyl	1-pyrrolidinocarbonyl
	244	SCH ₃	phenyl	2-(methylsulfonyl)phenyl
	245 246	SCH ₃	phenyl	4-morpholino
	247	SCH ₃	phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	248	SCH ₃ SCH ₃	phenyl	4-morpholinocarbonyl
	249	SCH ₃	phenyl	2-methyl-1-imidazolyl
	250	SCH ₃	phenyl phenyl	5-methyl-1-imidazolyl 2-methylsulfonyl-1-imidazolyl
	251	SCH ₃	2-pyridyl	
	252	SCH ₃	2-pyridyl 2-pyridyl	2-(aminosulfonyl)phenyl 2-(methylaminosulfonyl)phenyl
	253	SCH ₃	2-pyridyl 2-pyridyl	1-pyrrolidinocarbonyl
	254	SCH ₃	2-pyridyl 2-pyridyl	2-(methylsulfonyl)phenyl
	255	SCH ₃	2-pyridyl 2-pyridyl	2-(methylsullonyl)phenyl 4-morpholino
	256	SCH ₃	2-pyridyl 2-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	257	SCH ₃	2-pyridyl 2-pyridyl	4-morpholinocarbonyl
	258	SCH ₃	2-pyridyl 2-pyridyl	2-methyl-1-imidazolyl
	259	SCH ₃	2-pyridyl 2-pyridyl	5-methyl-1-imidazolyl
	260	SCH ₃	2-pyridyl 2-pyridyl	2-methylsulfonyl-1-imidazolyl
-	261	SCH ₃	3-pyridyl	2-(aminosulfonyl)phenyl
	262	SCH ₃	3-pyridyl 3-pyridyl	2-(aminosulfonyl)phenyl 2-(methylaminosulfonyl)phenyl
	263	SCH ₂	3-pyridyl	2-(Methyraminosurronyr)phenyr

1-pyrrolidinocarbonyl

2-(methylsulfonyl)phenyl 4-morpholino

3-pyridyl

3-pyridyl

3-pyridyl

263

264

265

SCH₃

 SCH_3

SCH₃

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	266	SCH ₃	3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	267	SCH ₃	3-pyridyl	4-morpholinocarbonyl
	268	SCH ₃	3-pyridyl	2-methyl-1-imidazolyl
	269	SCH ₃	3-pyridyl	5-methyl-1-imidazolyl
	270	SCH ₃	3-pyridyl	2-methylsulfonyl-1-imidazolyl
	271	SCH ₃	2-pyrimidyl	2-(aminosulfonyl)phenyl
	272	SCH ₃	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	273	SCH ₃	2-pyrimidyl	1-pyrrolidinocarbonyl
	274	SCH ₃	2-pyrimidyl	<pre>2-(methylsulfonyl)phenyl</pre>
	275	SCH ₃	2-pyrimidyl	4-morpholino
	276	SCH ₃	2-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	277	SCH ₃	2-pyrimidyl	4-morpholinocarbonyl
	278	SCH ₃	2-pyrimidyl	2-methyl-1-imidazolyl
	279	SCH ₃	2-pyrimidyl	5-methyl-1-imidazolyl
	280	SCH ₃	2-pyrimidyl	2-methylsulfonyl-1-imidazolyl
-	281	SCH ₃	5-pyrimidyl	2-(aminosulfonyl)phenyl
	282	SCH ₃	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
	283	SCH ₃	5-pyrimidyl	1-pyrrolidinocarbonyl
	284	SCH ₃	5-pyrimidyl	2-(methylsulfonyl)phenyl
	285	SCH ₃	5-pyrimidyl	4-morpholino
	286	SCH ₃	5-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	287	SCH ₃	5-pyrimidyl	4-morpholinocarbonyl
	288	SCH ₃	5-pyrimidyl	2-methyl-1-imidazolyl
	289	SCH ₃	5-pyrimidyl	5-methyl-1-imidazolyl
	290	SCH ₃	5-pyrimidyl	2-methylsulfonyl-1-imidazolyl
•	291	SCH ₃	2-Cl-phenyl	2-(aminosulfonyl)phenyl
	292	SCH ₃	2-C1-phenyl	<pre>2-(methylaminosulfonyl)phenyl</pre>
	293	SCH ₃	2-Cl-phenyl	1-pyrrolidinocarbonyl
	294	SCH ₃	2-C1-phenyl	<pre>2-(methylsulfonyl)phenyl</pre>
	295	SCH ₃	2-C1-phenyl	4-morpholino
	296	SCH ₃	2-C1-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	297	SCH ₃	2-C1-phenyl	4-morpholinocarbonyl
	298	SCH ₃	2-Cl-phenyl	2-methyl-1-imidazolyl
	299	SCH ₃	2-C1-phenyl	5-methyl-1-imidazolyl
	300	SCH ₃	2-C1-phenyl	2-methylsulfonyl-1-imidazolyl
	301	SCH ₃	2-F-phenyl	2-(aminosulfonyl)phenyl
	302	SCH ₃	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	303	SCH ₃	2-F-phenyl	1-pyrrolidinocarbonyl
	304	SCH ₃	2-F-phenyl	<pre>2-(methylsulfonyl)phenyl</pre>
	305	SCH ₃	2-F-phenyl	4-morpholino
	306	SCH ₃	2-F-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	307	SCH ₃	2-F-phenyl	4-morpholinocarbonyl
	308	SCH ₃	2-F-phenyl	2-methyl-1-imidazolyl
	309	SCH ₃	2-F-phenyl	5-methyl-1-imidazolyl
	310	SCH ₃	2-F-phenyl	2-methylsulfonyl-1-imidazolyl
	311	SCH ₃	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
	312	SCH ₃	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
	313	SCH ₃	2,6-diF-phenyl	1-pyrrolidinocarbonyl

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	314	SCH ₃	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
	315	SCH ₃	2,6-diF-phenyl	4-morpholino
	316	SCH_3		2-(1'-CF3-tetrazol-2-yl)phenyl
	317	SCH ₃	2,6-diF-phenyl	4-morpholinocarbonyl
	318	SCH ₃	2,6-diF-phenyl	2-methyl-1-imidazolyl
	319	SCH ₃	2,6-diF-phenyl	5-methyl-1-imidazolyl
	320	SCH ₃	2,6-diF-phenyl	2-methylsulfonyl-1-imidazolyl
	321	SOCH ₃	phenyl	2-(aminosulfonyl)phenyl
	322	$SOCH_3$	phenyl	2-(methylaminosulfonyl)phenyl
	323	SOCH ₃	phenyl	1-pyrrolidinocarbonyl
	324	SOCH ₃	phenyl	<pre>2-(methylsulfonyl)phenyl</pre>
	325	SOCH ₃	phenyl	4-morpholino
	326	SOCH ₃	phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	327	SOCH ₃	phenyl	4-morpholinocarbonyl
	328	SOCH ₃	phenyl	2-methyl-1-imidazolyl
	329	SOCH ₃	phenyl	5-methyl-1-imidazolyl
	330	SOCH ₃	phenyl	2-methylsulfonyl-1-imidazolyl
-	331	SOCH ₃	2-pyridyl	2-(aminosulfonyl)phenyl
	332	SOCH ₃	2-pyridyl	2-(methylaminosulfonyl)phenyl
	333	SOCH ₃	2-pyridyl	1-pyrrolidinocarbonyl
	334	SOCH ₃	2-pyridyl	2-(methylsulfonyl)phenyl
	335	SOCH ₃	2-pyridyl	4-morpholino
	336	SOCH ₃	2-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	337	SOCH ₃	2-pyridyl	4-morpholinocarbonyl
	338	SOCH ₃	2-pyridyl	2-methyl-1-imidazolyl
	339	SOCH ₃	2-pyridyl	5-methyl-1-imidazolyl
	340	SOCH ₃	2-pyridyl	2-methylsulfonyl-1-imidazolyl
	341	SOCH ₃	3-pyridyl	2-(aminosulfonyl)phenyl
	342	SOCH ₃	3-pyridyl	2-(methylaminosulfonyl)phenyl
	343	SOCH ₃	3-pyridyl	1-pyrrolidinocarbonyl
	344	SOCH ₃	3-pyridyl	2-(methylsulfonyl)phenyl
	345	SOCH ₃	3-pyridyl	4-morpholino
	346	SOCH ₃	3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	347	SOCH ₃	3-pyridyl	4-morpholinocarbonyl
	348	SOCH ₃	3-pyridyl	2-methyl-1-imidazolyl
	349	SOCH ₃	3-pyridyl	5-methyl-1-imidazolyl
	350	SOCH ₃	3-pyridyl	2-methylsulfonyl-1-imidazolyl
_	351	SOCH ₃	2-pyrimidyl	2-(aminosulfonyl)phenyl
	352	SOCH ₃	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	353	SOCH ₃	2-pyrimidyl	1-pyrrolidinocarbonyl
	354	SOCH ₃	2-pyrimidyl	2-(methylsulfonyl)phenyl
	355	SOCH ₃	2-pyrimidyl	4-morpholino
	356	SOCH ₃	2-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	357	SOCH ₃	2-pyrimidyl	4-morpholinocarbonyl
	358	SOCH ₃	2-pyrimidyl	2-methyl-1-imidazolyl
	359	SOCH ₃	2-pyrimidyl	5-methyl-1-imidazolyl
	360	SOCH ₃	2-pyrimidyl	2-methylsulfonyl-1-imidazolyl
-	361	SOCH ₃	5-pyrimidyl	2-(aminosulfonyl)phenyl
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	362	SOCH ₃	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
	363	SOCH ₃	5-pyrimidyl	1-pyrrolidinocarbonyl
	364	SOCH ₃	5-pyrimidyl	2-(methylsulfonyl)phenyl
	365	SOCH ₃	5-pyrimidyl	4-morpholino
	366	SOCH ₃	5-pyrimidýl	2-(1'-CF3-tetrazol-2-y1)phenyl
	367	SOCH3	5-pyrimidyl	4-morpholinocarbonyl
	368	SOCH ₃	5-pyrimidyl	2-methyl-1-imidazolyl
	369	SOCH ₃	5-pyrimidyl	5-methyl-1-imidazolyl
	370	SOCH ₃	5-pyrimidyl	2-methylsulfonyl-1-imidazolyl
	371	SOCH ₃	2-Cl-phenyl	2-(aminosulfonyl)phenyl
	372	SOCH ₃	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
	373	SOCH ₃	2-Cl-phenyl	1-pyrrolidinocarbonyl
	374	SOCH ₃	2-Cl-phenyl	2-(methylsulfonyl)phenyl
	375	SOCH ₃	2-Cl-phenyl	4-morpholino
	376	SOCH ₃	2-C1-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	377	SOCH ₃	2-Cl-phenyl	4-morpholinocarbonyl
	378	SOCH ₃	2-Cl-phenyl	2-methyl-1-imidazolyl
	379	SOCH ₃	2-Cl-phenyl	5-methyl-1-imidazolyl
	380	SOCH ₃	2-Cl-phenyl	2-methylsulfonyl-1-imidazolyl
	381	SOCH ₃	2-F-phenyl	2-(aminosulfonyl)phenyl
	382	SOCH ₃	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	383	SOCH ₃	2-F-phenyl	1-pyrrolidinocarbonyl
	384	SOCH ₃	2-F-phenyl	2-(methylsulfonyl)phenyl
	385	SOCH ₃	2-F-phenyl	4-morpholino
	386	SOCH ₃	2-F-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	387	SOCH ₃	2-F-phenyl	4-morpholinocarbonyl
	388	SOCH ₃	2-F-phenyl	2-methyl-1-imidazolyl
	389	SOCH ₃ .	2-F-phenyl	5-methyl-1-imidazolyl
	390	SOCH ₃	2-F-phenyl	2-methylsulfonyl-1-imidazolyl
•	391	SOCH ₃	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
	392	SOCH ₃	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
	393	SOCH ₃	2,6-diF-phenyl	1-pyrrolidinocarbonyl
	394	SOCH ₃	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
	395	SOCH ₃	2,6-diF-phenyl	4-morpholino
	396	SOCH ₃	2,6-diF-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	397	SOCH ₃	2,6-diF-phenyl	4-morpholinocarbonyl
	398	SOCH ₃	2,6-diF-phenyl	2-methyl-1-imidazolyl
	399	SOCH ₃	2,6-diF-phenyl	5-methyl-1-imidazolyl
	400	SOCH ₃	2,6-diF-phenyl	2-methylsulfonyl-1-imidazolyl
	401	SO ₂ CH ₃	phenyl	2-(aminosulfonyl)phenyl
	402	SO ₂ CH ₃	phenyl	2-(methylaminosulfonyl)phenyl
	403	SO2CH3	phenyl	1-pyrrolidinocarbonyl
	404	SO ₂ CH ₃	phenyl	2-(methylsulfonyl)phenyl
	405	SO ₂ CH ₃	phenyl	4-morpholino
	406	SO ₂ CH ₃	phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	407	SO ₂ CH ₃	phenyl	4-morpholinocarbonyl
	408	SO ₂ CH ₃	phenyl	2-methyl-1-imidazolyl
	409	SO ₂ CH ₃	phenyl	5-methyl-1-imidazolyl
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410	SO ₂ CH ₃	phenyl	2-methylsulfonyl-1-imidazolyl
411	SO ₂ CH ₃	2-pyridyl	2-(aminosulfonyl)phenyl
412	SO ₂ CH ₃	2-pyridyl	2-(methylaminosulfonyl)phenyl
413	SO ₂ CH ₃	2-pyridyl	1-pyrrolidinocarbonyl
414	SO2CH3	2-pyridyl	2-(methylsulfonyl)phenyl
415	SO ₂ CH ₃	2-pyridyl	4-morpholino
416	SO ₂ CH ₃	2-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
417	SO ₂ CH ₃	2-pyridyl	4-morpholinocarbonyl
418	SO2CH3	2-pyridyl	2-methyl-1-imidazolyl
419	SO2CH3	2-pyridyl	5-methyl-1-imidazolyl
420	SO ₂ CH ₃	2-pyridyl	2-methylsulfonyl-1-imidazolyl
421	SO ₂ CH ₃	3-pyridyl	2-(aminosulfonyl)phenyl
422	SO ₂ CH ₃	3-pyridyl	2-(methylaminosulfonyl)phenyl
423	SO ₂ CH ₃	3-pyridyl	1-pyrrolidinocarbonyl
424	SO ₂ CH ₃	3-pyridyl	2-(methylsulfonyl)phenyl
425	SO ₂ CH ₃	3-pyridyl	4-morpholino
426	SO ₂ CH ₃	3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
427	SO ₂ CH ₃	3-pyridyl	4-morpholinocarbonyl
428	SO2CH3	3-pyri d yl	2-methyl-1-imidazolyl
429	SO ₂ CH ₃	3-pyridyl	5-methyl-1-imidazolyl
430	SO ₂ CH ₃	3-pyridyl	2-methylsulfonyl-1-imidazolyl
431	SO ₂ CH ₃	2-pyrimidyl	2-(aminosulfonyl)phenyl
432	SO ₂ CH ₃	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
433	SO ₂ CH ₃	2-pyrimidyl	1-pyrrolidinocarbonyl
434	SO ₂ CH ₃	2-pyrimidyl	2-(methylsulfonyl)phenyl
435	SO ₂ CH ₃	2-pyrimidyl	4-morpholino
436	SO ₂ CH ₃	2-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
437 438	SO ₂ CH ₃	2-pyrimidyl	4-morpholinocarbonyl
439	SO ₂ CH ₃	2-pyrimidyl	2-methyl-1-imidazolyl
440	SO ₂ CH ₃ SO ₂ CH ₃	2-pyrimidyl 2-pyrimidyl	5-methyl-1-imidazolyl
441		5-pyrimidyl	2-methylsulfonyl-1-imidazolyl
442	SO ₂ CH ₃ SO ₂ CH ₃	5-pyrimidyl 5-pyrimidyl	2-(aminosulfonyl)phenyl
443	SO ₂ CH ₃	5-pyrimidyl 5-pyrimidyl	2-(methylaminosulfonyl)phenyl 1-pyrrolidinocarbonyl
444	SO ₂ CH ₃	5-pyrimidyl 5-pyrimidyl	2-(methylsulfonyl)phenyl
445	SO ₂ CH ₃	5-pyrimidyl 5-pyrimidyl	4-morpholino
446	SO ₂ CH ₃	5-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
447	SO ₂ CH ₃	5-pyrimidyl	4-morpholinocarbonyl
448	SO ₂ CH ₃	5-pyrimidyl	2-methyl-1-imidazolyl
449	SO ₂ CH ₃	5-pyrimidyl	5-methyl-1-imidazolyl
450	SO ₂ CH ₃	5-pyrimidyl	2-methylsulfonyl-1-imidazolyl
451	SO ₂ CH ₃	2-Cl-phenvl	2-(aminosulfonyl)phenyl
452	SO ₂ CH ₃	2-C1-phenyl	2-(methylaminosulfonyl)phenyl
453	SO ₂ CH ₃	2-C1-phenyl	1-pyrrolidinocarbonyl
454	SO ₂ CH ₃	2-Cl-phenyl	2-(methylsulfonyl)phenyl
455	SO ₂ CH ₃	2-Cl-phenyl	4-morpholino
456	SO ₂ CH ₃	2-Cl-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
457	SO ₂ CH ₃	2-Cl-phenyl	4-morpholinocarbonvl
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458	SO ₂ CH ₃	2-Cl-phenyl	2-methyl-1-imidazolyl
459	SO_2CH_3	2-Cl-phenyl	5-methyl-1-imidazolyl
460	SO_2CH_3	2-Cl-phenyl	2-methylsulfonyl-1-imidazolyl
461	SO ₂ CH ₃	2-F-phenyl	2-(aminosulfonyl)phenyl
462	SO ₂ CH ₃	2-F-phenyl	2-(methylaminosulfonyl)phenyl
463	SO2CH3	2-F-phenyl	1-pyrrolidinocarbonyl
464	SO2CH3	2-F-phenyl	2-(methylsulfonyl)phenyl
465	SO2CH3	2-F-phenyl	4-morpholino
466	SO2CH3	2-F-phenyl	2-(1'-CF3-tetrazol-2-vl)phenvl
467	SO ₂ CH ₃	2-F-phenyl	4-morpholinocarbonyl
468	SO2CH3	2-F-phenyl	2-methyl-1-imidazolyl
469	SO ₂ CH ₃	2-F-phenyl	5-methyl-1-imidazolyl
470	SO ₂ CH ₃	2-F-phenyl	2-methylsulfonyl-1-imidazolyl
471	SO ₂ CH ₃	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
472	SO ₂ CH ₃	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
473	SO2CH3	2,6-diF-phenyl	1-pyrrolidinocarbonyl
474	SO ₂ CH ₃	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
475	SO2CH3	2,6-diF-phenyl	4-morpholino
476	SO2CH3	2,6-diF-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
477	SO ₂ CH ₃	2,6-diF-phenyl	4-morpholinocarbonyl
478	SO2CH3	2,6-diF-phenyl	2-methyl-1-imidazolyl
479	SO2CH3	2,6-diF-phenyl	5-methyl-1-imidazolyl
480	SO ₂ CH ₃	2,6-diF-phenyl	2-methylsulfonyl-1-imidazolyl
481	CH ₂ NH-	phenyl	2-(aminosulfonyl)phenyl
	SO2CH3		
482	CH ₂ NH-	phenyl	2-(methylaminosulfonyl)phenyl
	SO ₂ CH ₃		
483	CH ₂ NH-	phenyl	1-pyrrolidinocarbonyl
	SO ₂ CH ₃		
484	CH ₂ NH-	phenyl	2-(methylsulfonyl)phenyl
	SO ₂ CH ₃		
485	CH ₂ NH-	phenyl	4-morpholino
	SO ₂ CH ₃		
486	CH ₂ NH-	phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	SO ₂ CH ₃		
487	CH ₂ NH-	phenyl	4-morpholinocarbonyl
	SO ₂ CH ₃		
488	CH ₂ NH-	phenyl	2-methyl-1-imidazolyl

5-methyl-1-imidazolyl

2-methylsulfonyl-1-imidazolyl

2-(aminosulfonyl)phenyl

2-(methylaminosulfonyl)phenyl

phenyl

phenyl

2-pyridyl

2-pyridyl

SO₂CH₃

CH2NH-

SO₂CH₃

CH2NH-

SO₂CH₃

CH2NH-SO₂CH₃

CH2NH-

SO₂CH₃

489

490

491

492

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	493	CH2NH-	2-pyridyl	1-pyrrolidinocarbonyl
	133	SO ₂ CH ₃	z pyrrayr	1 pyllollalmocalbony1
	494	CH ₂ NH-	2-pyridyl	2-(methylsulfonyl)phenyl
		SO ₂ CH ₃	n blindl	5 (Medily 10 different) 1
	495	CH2NH-	2-pyridyl	4-morpholino
	433	SO ₂ CH ₃	z pyridyr	4 MOIDHOITHO
	496	CH ₂ NH-	2-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	400	SO ₂ CH ₃	z-pyrrayr	z-(1 -cr3-cectazo1-z-y1)pheny1
	497	CH ₂ NH-	2-pyridyl	4-morpholinocarbonyl
	437		z-pyridyi	4-MOIDHOITHOCAIDONYI
	400	SO ₂ CH ₃	0	0
	498	CH2NH-	2-pyridyl	2-methyl-1-imidazolyl
		SO ₂ CH ₃		
	499	CH ₂ NH-	2-pyridyl	5-methyl-1-imidazolyl
		SO ₂ CH ₃		
	500	CH ₂ NH-	2-pyridyl	2-methylsulfonyl-1-imidazolyl
_		SO ₂ CH ₃		
	501	CH ₂ NH-	3-pyridyl	2-(aminosulfonyl)phenyl
		SO ₂ CH ₃		
	502	CH ₂ NH-	3-pyridyl	2-(methylaminosulfonyl)phenyl
		SO ₂ CH ₃		
	503	CH ₂ NH-	3-pyridyl	1-pyrrolidinocarbonyl
		SO ₂ CH ₃		
	504	CH2NH-	3-pyridyl	<pre>2-(methylsulfonyl)phenyl</pre>
		SO ₂ CH ₃		
	505	CITY ATT	0 1 2 3	
	303	CH ₂ NH-	3-pyridyl	4-morpholino
	303	SO ₂ CH ₃	3-pyridyi	4-morpholino
	506		3-pyridyl 3-pyridyl	4-morpholino 2-(1'-CF3-tetrazol-2-yl)phenyl
		SO ₂ CH ₃		
		SO ₂ CH ₃ CH ₂ NH-		
	506	SO ₂ CH ₃ CH ₂ NH- SO ₂ CH ₃	3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	506	SO ₂ CH ₃ CH ₂ NH- SO ₂ CH ₃ CH ₂ NH-	3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	506 507	SO ₂ CH ₃ CH ₂ NH- SO ₂ CH ₃ CH ₂ NH- SO ₂ CH ₃ CH ₂ NH-	3-pyridyl 3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl 4-morpholinocarbonyl
	506 507	SO ₂ CH ₃ CH ₂ NH- SO ₂ CH ₃ CH ₂ NH- SO ₂ CH ₃	3-pyridyl 3-pyridyl 3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl 4-morpholinocarbonyl
	506 507 508	SO ₂ CH ₃ CH ₂ NH- SO ₂ CH ₃ CH ₂ NH- SO ₂ CH ₃ CH ₂ NH- SO ₂ CH ₃	3-pyridyl 3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl 4-morpholinocarbonyl 2-methyl-1-imidazolyl
	506 507 508	SO ₂ CH ₃ CH ₂ NH- SO ₂ CH ₃ CH ₂ NH- SO ₂ CH ₃ CH ₂ NH- SO ₂ CH ₃ CH ₂ NH-	3-pyridyl 3-pyridyl 3-pyridyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl 4-morpholinocarbonyl 2-methyl-1-imidazolyl 5-methyl-1-imidazolyl
	506 507 508 509	SO2CH3 CH2NH- SO2CH3 CH2NH- SO2CH3 CH2NH- SO2CH3 CH2NH- SO2CH3 CH2NH- SO2CH3 CH2NH-	3-pyridyl 3-pyridyl 3-pyridyl 3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl 4-morpholinocarbonyl 2-methyl-1-imidazolyl
_	506 507 508 509	SO2CH3 CH2NH- SO2CH3 CH2NH- SO2CH3 CH2NH- SO2CH3 CH2NH- SO2CH3 CH2NH- SO2CH3 CH2NH- SO2CH3	3-pyridyl 3-pyridyl 3-pyridyl 3-pyridyl 3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl 4-morpholinocarbonyl 2-methyl-1-imidazolyl 5-methyl-1-imidazolyl 2-methylsulfonyl-1-imidazolyl
_	506 507 508 509 510	SO ₂ CH ₃ CH ₂ NH- SO ₂ CH ₃	3-pyridyl 3-pyridyl 3-pyridyl 3-pyridyl	2-(1'-CF ₃ -tetrazol-2-yl)phenyl 4-morpholinocarbonyl 2-methyl-1-imidazolyl 5-methyl-1-imidazolyl
_	506 507 508 509 510	SO2CH3 CH2NH- SO2CH3 CH2NH- SO2CH3 CH2NH- SO2CH3 CH2NH- SO2CH3 CH2NH- SO2CH3 CH2NH- SO2CH3	3-pyridyl 3-pyridyl 3-pyridyl 3-pyridyl 3-pyridyl 2-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl 4-morpholinocarbonyl 2-methyl-1-imidazolyl 5-methyl-1-imidazolyl 2-methylsulfonyl-1-imidazolyl 2-(aminosulfonyl)phenyl
_	506 507 508 509 510	SO2CH3 CH2NH- SO2CH3 CH2NH- SO2CH3 CH2NH- SO2CH3 CH2NH- SO2CH3 CH2NH- SO2CH3 CH2NH- SO2CH3 CH2NH- SO2CH3	3-pyridyl 3-pyridyl 3-pyridyl 3-pyridyl 3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl 4-morpholinocarbonyl 2-methyl-1-imidazolyl 5-methyl-1-imidazolyl 2-methylsulfonyl-1-imidazolyl
_	506 507 508 509 510 511 512	SO ₂ CH ₃ CH ₂ NH- SO ₂ CH ₃	3-pyridyl 3-pyridyl 3-pyridyl 3-pyridyl 2-pyrimidyl 2-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl 4-morpholinocarbonyl 2-methyl-1-imidazolyl 5-methyl-1-imidazolyl 2-methylsulfonyl-1-imidazolyl 2-(aminosulfonyl)phenyl 2-(methylaminosulfonyl)phenyl
_	506 507 508 509 510	SO ₂ CH ₃ CH ₂ NH- SO ₂ CH ₃ CH ₂ NH-	3-pyridyl 3-pyridyl 3-pyridyl 3-pyridyl 3-pyridyl 2-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl 4-morpholinocarbonyl 2-methyl-1-imidazolyl 5-methyl-1-imidazolyl 2-methylsulfonyl-1-imidazolyl 2-(aminosulfonyl)phenyl
_	506 507 508 509 510 511 512 513	SO ₂ CH ₃ CH ₂ NH- SO ₂ CH ₃	3-pyridyl 3-pyridyl 3-pyridyl 3-pyridyl 2-pyrimidyl 2-pyrimidyl 2-pyrimidyl	2-(1'-CF3-tetrazo1-2-yl)phenyl 4-morpholinocarbonyl 2-methyl-1-imidazolyl 5-methyl-1-imidazolyl 2-methylsulfonyl-1-imidazolyl 2-(aminosulfonyl)phenyl 2-(methylaminosulfonyl)phenyl 1-pyrrolidinocarbonyl
_	506 507 508 509 510 511 512	SO ₂ CH ₃ CH ₂ NH-	3-pyridyl 3-pyridyl 3-pyridyl 3-pyridyl 2-pyrimidyl 2-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl 4-morpholinocarbonyl 2-methyl-1-imidazolyl 5-methyl-1-imidazolyl 2-methylsulfonyl-1-imidazolyl 2-(aminosulfonyl)phenyl 2-(methylaminosulfonyl)phenyl
_	506 507 508 509 510 511 512 513 514	SO ₂ CH ₃ CH ₂ NH- SO ₂ CH ₃	3-pyridyl 3-pyridyl 3-pyridyl 3-pyridyl 2-pyrimidyl 2-pyrimidyl 2-pyrimidyl 2-pyrimidyl	2-(1'-CF3-tetrazo1-2-y1)phenyl 4-morpholinocarbonyl 2-methyl-1-imidazolyl 5-methyl-1-imidazolyl 2-methylsulfonyl-1-imidazolyl 2-(aminosulfonyl)phenyl 2-(methylaminosulfonyl)phenyl 1-pyrrolidinocarbonyl 2-(methylsulfonyl)phenyl
_	506 507 508 509 510 511 512 513	SO ₂ CH ₃ CH ₂ NH- SO ₂ CH ₃ CH ₂ NH-	3-pyridyl 3-pyridyl 3-pyridyl 3-pyridyl 2-pyrimidyl 2-pyrimidyl 2-pyrimidyl	2-(1'-CF3-tetrazo1-2-yl)phenyl 4-morpholinocarbonyl 2-methyl-1-imidazolyl 5-methyl-1-imidazolyl 2-methylsulfonyl-1-imidazolyl 2-(aminosulfonyl)phenyl 2-(methylaminosulfonyl)phenyl 1-pyrrolidinocarbonyl
_	506 507 508 509 510 511 512 513 514 515	SO ₂ CH ₃ CH ₂ NH- SO ₂ CH ₃	3-pyridyl 3-pyridyl 3-pyridyl 3-pyridyl 2-pyrimidyl 2-pyrimidyl 2-pyrimidyl 2-pyrimidyl 2-pyrimidyl 2-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl 4-morpholinocarbonyl 2-methyl-1-imidazolyl 5-methyl-1-imidazolyl 2-methylsulfonyl-1-imidazolyl 2-(aminosulfonyl)phenyl 2-(methylaminosulfonyl)phenyl 1-pyrrolidinocarbonyl 2-(methylsulfonyl)phenyl 4-morpholino
	506 507 508 509 510 511 512 513 514	SO ₂ CH ₃ CH ₂ NH- SO ₂ CH ₃ CH ₂ NH-	3-pyridyl 3-pyridyl 3-pyridyl 3-pyridyl 2-pyrimidyl 2-pyrimidyl 2-pyrimidyl 2-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl 4-morpholinocarbonyl 2-methyl-1-imidazolyl 5-methyl-1-imidazolyl 2-methylsulfonyl-1-imidazolyl 2-(aminosulfonyl)phenyl 2-(methylaminosulfonyl)phenyl 1-pyrrolidinocarbonyl 2-(methylsulfonyl)phenyl 4-morpholino

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	517	CH2NH-	2	4
	211		2-pyrimidyl	4-morpholinocarbonyl
	518	SO ₂ CH ₃ CH ₂ NH-	2-pyrimidyl	2-methyl-1-imidazolyl
		SO ₂ CH ₃		-
	519	CH ₂ NH-	2-pyrimidyl	5-methyl-1-imidazolyl
	520	SO ₂ CH ₃ CH ₂ NH-	2-pyrimidyl	2-methylsulfonyl-1-imidazolyl
		SO ₂ CH ₃	- Plimedi	b meengiballongi i imiaabolyi
-	521	CH2NH-	5-pyrimidyl	2-(aminosulfonyl)phenyl
	321		2-byr mirdyr	z-(aminosurionyi)phenyi
		SO ₂ CH ₃		
	522	CH ₂ NH-	5-pyrimidyl	<pre>2-(methylaminosulfonyl)phenyl</pre>
		SO_2CH_3		
	523	CH ₂ NH-	5-pyrimidyl	1-pyrrolidinocarbonyl
		SO ₂ CH ₃		
	524	CH2NH-	5-pyrimidyl	2-(methylsulfonyl)phenyl
		SO ₂ CH ₃	•	
	525	CH2NH-	5-pvrimidvl	4-morpholino
	525	SO ₂ CH ₃	5 pyrimidyi	4-morphorimo
	526		E	2 /1/ 07
	320	CH ₂ NH-	5-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
		SO ₂ CH ₃		
	527	CH ₂ NH-	5-pyrimidyl	4-morpholinocarbonyl
		SO ₂ CH ₃		
	528	CH ₂ NH-	5-pyrimidyl	2-methyl-1-imidazolyl
		SO2CH3		_
	529	CH2NH-	5-pyrimidyl	5-methyl-1-imidazolyl
		SO ₂ CH ₃		
	530	CH2NH-	5-pyrimidyl	2-methylsulfonyl-1-imidazolyl
		SO ₂ CH ₃	o pjiimiaji	z meenyibarionyi i imiaazoiyi
-	531	CH2NH-	2 Cl phonel	2 (amin a aul 6 - aul) ab - aul
	331		2-Cl-phenyl	2-(aminosulfonyl)phenyl
	F20	SO ₂ CH ₃		
	532	CH ₂ NH-	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
		SO_2CH_3		
	533	CH ₂ NH-	2-Cl-phenyl	1-pyrrolidinocarbonyl
		SO ₂ CH ₃		
	534	CH ₂ NH-	2-Cl-phenyl	2-(methylsulfonyl)phenyl
		SO ₂ CH ₃		
	535	CH ₂ NH-	2-Cl-phenyl	4-morpholino
		SO ₂ CH ₃		
	536	CH ₂ NH-	2-Cl-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
		SO ₂ CH ₃	z cr phenyr	z (1 -cry-tectazo1-z-y1)phenyi
	537		2 011	4 1 4
	231	CH ₂ NH-	2-Cl-phenyl	4-morpholinocarbonyl
		SO ₂ CH ₃		
	538	CH ₂ NH-	2-Cl-phenyl	2-methyl-1-imidazolyl
		SO_2CH_3		
	539	CH2NH-	2-Cl-phenyl	5-methyl-1-imidazolyl
		SO ₂ CH ₃		
	540	CH ₂ NH-	2-C1-phenyl	2-methylsulfonyl-1-imidazolyl
		SO ₂ CH ₃	_ 01 py1	rearrowly r runageory.
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541	CH ₂ NH-	2-F-phenyl	2-(aminosulfonyl)phenyl
542	SO ₂ CH ₃ CH ₂ NH-		2 (
342	SO ₂ CH ₃	2-F-phenyl	2-(methylaminosulfonyl)phenyl
543	CH2NH-	2-F-phenvl	1-pyrrolidinocarbonyl
	SO ₂ CH ₃		- F7 Table and Cally 1
544	CH ₂ NH-	2-F-phenyl	2-(methylsulfonyl)phenyl
	SO ₂ CH ₃		
545	CH ₂ NH-	2-F-phenyl	4-morpholino
F 4 C	SO ₂ CH ₃	0 1 1	0.40.40
546	CH ₂ NH-	2-F-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
547	SO ₂ CH ₃ CH ₂ NH-	2-F-phenyl	4-morpholinocarbonyl
547	SO ₂ CH ₃	z-r-pnenyi	4-morphorinocarbonyi
548	CH ₂ NH-	2-F-phenyl	2-methyl-1-imidazolyl
	SO ₂ CH ₃	F3-	
549	CH2NH-	2-F-phenyl	5-methyl-1-imidazolyl
	SO ₂ CH ₃		
550	CH ₂ NH-	2-F-phenyl	2-methylsulfonyl-1-imidazolyl
	SO ₂ CH ₃		
551	CH ₂ NH-	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
552	SO ₂ CH ₃		
552	CH ₂ NH-	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
553	SO ₂ CH ₃ CH ₂ NH-	2,6-diF-phenyl	1-pyrrolidinocarbonyl
555	SO ₂ CH ₃	2,0-dir-phenyi	1-pyrroridinocarbony1
554	CH ₂ NH-	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
	SO ₂ CH ₃	•	- , <u>,</u>
55 5	CH ₂ NH-	2,6-diF-phenyl	4-morpholino
	SO ₂ CH ₃		
556	CH ₂ NH-	2,6-diF-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	SO ₂ CH ₃		
557	CH ₂ NH-	2,6-diF-phenyl	4-morpholinocarbonyl
558	SO ₂ CH ₃	2 6 dir phonul	2
220	CH ₂ NH- SO ₂ CH ₃	2,6-diF-phenyl	2-methyl-1-imidazolyl
559	CH ₂ NH-	2,6-diF-phenyl	5-methyl-1-imidazolyl
	SO ₂ CH ₃	z, c dir phenyi	5 Meenyl I Imida201yi
560	CH ₂ NH-	2,6-diF-phenvl	2-methylsulfonyl-1-imidazolyl
	SO ₂ CH ₃	,	
561	Cl	phenyl	2-(aminosulfonyl)phenyl
562	Cl	phenyl	2-(methylaminosulfonyl)phenyl
563	Cl	phenyl	1-pyrrolidinocarbonyl
564	Cl	phenyl	2-(methylsulfonyl)phenyl
565	Cl	phenyl	4-morpholino
566	Cl	phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
567	Cl	phenyl	4-morpholinocarbonyl
568	Cl	phenyl	2-methyl-1-imidazolyl
569	Cl	phenyl	5-methyl-1-imidazolyl

570	Cl	phenyl	2-methylsulfonyl-l-imidazolyl
571	Cl	2-pyridyl	2-(aminosulfonyl)phenyl
572	C1	2-pyridyl	2-(methylaminosulfonyl)phenyl
573	Cl	2-pyridyl	1-pyrrolidinocarbonyl
574	Cl	2-pyridyl	2-(methylsulfonyl)phenyl
575	Cl	2-pyridyl	4-morpholino
576	Cl	2-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
577	Cl	2-pyridyl	4-morpholinocarbonyl
578	Cl	2-pyridyl	2-methyl-1-imidazolyl
579	Cl	2-pyridyl	5-methyl-1-imidazolyl
580	Cl	2-pyridyl	2-methylsulfonyl-1-imidazolyl
581	Cl	3-pyridyl	2-(aminosulfonyl)phenyl
582	Cl	3-pyridyl	2-(methylaminosulfonyl)phenyl
583	Cl	3-pyridyl	1-pyrrolidinocarbonyl
584	Cl	3-pyridyl	2-(methylsulfonyl)phenyl
585	Cl	3-pyridyl	4-morpholino
586	C1	3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
587	Cl	3-pyridyl	4-morpholinocarbonyl
588	Cl	3-pyridyl	2-methyl-1-imidazolyl
589	C1	3-pyridyl	5-methyl-1-imidazolyl
590	Cl	3-pyridyl	2-methylsulfonyl-1-imidazolyl
591	Cl	2-pyrimidyl	2-(aminosulfonyl)phenyl
592	Cl	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
593	Cl	2-pyrimidyl	1-pyrrolidinocarbonyl
594	Cl	2-pyrimidyl	2-(methylsulfonyl)phenyl
595	C1	2-pyrimidyl	4-morpholino
596	Cl	2-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
597	Cl	2-pyrimidyl	4-morpholinocarbonyl
598	Cl	2-pyrimidyl	2-methyl-1-imidazolyl
599 600	Cl Cl	2-pyrimidyl	5-methyl-l-imidazolyl 2-methylsulfonyl-l-imidazolyl
601	Cl	2-pyrimidyl	2-(aminosulfonyl)phenyl
602	Cl	5-pyrimidyl 5-pyrimidyl	2-(aminosulfonyl)phenyl 2-(methylaminosulfonyl)phenyl
603	Cl	5-pyrimidyl 5-pyrimidyl	1-pyrrolidinocarbonyl
604	C1	5-pyrimidyl 5-pyrimidyl	2-(methylsulfonyl)phenyl
605	Cl	5-pyrimidyl	4-morpholino
606	Cl	5-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
607	Cl	5-pyrimidyl	4-morpholinocarbonyl
608	Cl	5-pyrimidyl 5-pyrimidyl	2-methyl-1-imidazolyl
609	C1	5-pyrimidyl	5-methyl-1-imidazolyl
610	Cl	5-pyrimidyl	2-methylsulfonyl-1-imidazolyl
611	Cl	2-Cl-phenyl	2-(aminosulfonyl)phenyl
612	Cl	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
613	cī	2-C1-phenyl	1-pyrrolidinocarbonyl
614	Cl	2-Cl-phenyl	2-(methylsulfonyl)phenyl
615	Cl	2-Cl-phenyl	4-morpholino
616	Cl	2-C1-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
617	C1	2-Cl-phenyl	4-morpholinocarbonyl
618	Cl	2-Cl-phenyl	2-methyl-l-imidazolyl
619	C1	2-Cl-phenyl	5-methyl-1-imidazolyl
620	Cl	2-Cl-phenyl	2-methylsulfonyl-1-imidazolyl
621	Cl	2-F-phenyl	2-(aminosulfonyl)phenyl
622	Cl	2-F-phenyl	2-(methylaminosulfonyl)phenyl
623	Cl	2-F-phenyl	1-pyrrolidinocarbonyl
624	Cl	2-F-phenyl	2-(methylsulfonyl)phenyl

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625	Cl	2-F-phenyl	4-morpholino
626	Cl	2-F-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
627	C1	2-F-phenyl	4-morpholinocarbonyl
628	Cl	2-F-phenyl	2-methyl-1-imidazolyl
629	Cl	2-F-phenyl	5-methyl-l-imidazolyl
630	Cl	2-F-phenyl	2-methylsulfonyl-l-imidazolyl
631	Cl	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
632	Cl	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
633	Cl	2,6-dif-phenyl	1-pyrrolidinocarbonyl
634	Cl	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
635	Cl	2,6-dif-phenyl	4-morpholino
636	Cl		2-(1'-CF3-tetrazol-2-yl)phenyl
637	Cl		4-morpholinocarbonyl
638	Cl	2,6-diF-phenyl 2,6-diF-phenyl	2-methyl-l-imidazolyl
639	Cl	2,6-dif-phenyl	5-methyl-1-imidazolyl
640	Cl	2,6-dif-phenyl	2-methylsulfonyl-l-imidazolyl
641	F		2-(aminosulfonyl)phenyl
642	F	phenyl	
643	F	phenyl	2-(methylaminosulfonyl)phenyl
644	F	phenyl	1-pyrrolidinocarbonyl
645	F	phenyl	2-(methylsulfonyl)phenyl
646	F	phenyl	4-morpholino
647		phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	F	phenyl	4-morpholinocarbonyl
648 649	F	phenyl	2-methyl-1-imidazolyl
650	F	phenyl	5-methyl-1-imidazolyl
	F	phenyl	2-methylsulfonyl-1-imidazolyl
651 652	F	2-pyridyl	2-(aminosulfonyl)phenyl
653	F	2-pyridyl	2-(methylaminosulfonyl)phenyl 1-pyrrolidinocarbonyl
654	F	2-pyridyl	2-(methylsulfonyl)phenyl
655	F	2-pyridyl 2-pyridyl	4-morpholino
656	F	2-pyridyl 2-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
657	F		
658	F	2-pyridyl	4-morpholinocarbonyl
659	F	2-pyridyl	2-methyl-1-imidazolyl 5-methyl-1-imidazolyl
660	F	2-pyridyl	2-methylsulfonyl-1-imidazolyl
661	F	2-pyridyl	
662	F	3-pyridyl	2-(aminosulfonyl)phenyl
663	F	3-pyridyl 3-pyridyl	2-(methylaminosulfonyl)phenyl 1-pyrrolidinocarbonyl
664	F		2-(methylsulfonyl)phenyl
665	F	3-pyridyl 3-pyridyl	4-morpholino
666	F	3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
667	F		4-morpholinocarbonyl
668	F	3-pyridyl 3-pyridyl	2-methyl-1-imidazolyl
669	F	3-pyridyl 3-pyridyl	5-methyl-1-imidazolyl
670	F		2-methylsulfonyl-1-imidazolyl
671	F	3-pyridyl 2-pyrimidyl	2-(aminosulfonyl)phenyl
672	F		2-(aminosulfonyl)phenyl 2-(methylaminosulfonyl)phenyl
673	F	2-pyrimidyl 2-pyrimidyl	1-pyrrolidinocarbonyl
674	F	2-pyrimidyi 2-pyrimidyl	2-(methylsulfonyl)phenyl
675	F	2-pyrimidyl 2-pyrimidyl	4-morpholino
6 76	F	2-pyrimidyl 2-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
677	F		
678	-	2-pyrimidyl	4-morpholinocarbonyl
678 679	F	2-pyrimidyl	2-methyl-1-imidazolyl 5-methyl-1-imidazolyl
0/3	r	2-pyrimidyl	2 WEGHAT-I-IMIGGSOIAI

Continue		680	F	2-pyrimidyl	2-methylsulfonyl-1-imidazolyl
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7.14 F			F	2,6-diF-phenyl	
716 F 2,6-diF-phenyl 2-(1'-CF3-tetrazol-2-yl)phenyl 717 F 2,6-diF-phenyl 4-morpholinocarbonyl 718 F 2,6-diF-phenyl 2-methyl-1-imidazolyl 719 F 2,6-diF-phenyl 5-methyl-1-imidazolyl 720 F 2,6-diF-phenyl 2-methylsulfonyl-1-imidazolyl 721 CO ₂ CH ₃ phenyl 2-(aminosulfonyl)phenyl 722 CO ₂ CH ₃ phenyl 2-(methylaminosulfonyl)phenyl 723 CO ₂ CH ₃ phenyl 2-(methylsulfonyl)phenyl 724 CO ₂ CH ₃ phenyl 2-(methylsulfonyl)phenyl 725 CO ₂ CH ₃ phenyl 2-(methylsulfonyl)phenyl 726 CO ₂ CH ₃ phenyl 4-morpholino 726 CO ₂ CH ₃ phenyl 4-morpholinocarbonyl 727 CO ₂ CH ₃ phenyl 4-morpholinocarbonyl 728 CO ₂ CH ₃ phenyl 2-methyl-1-imidazolyl 729 CO ₂ CH ₃ phenyl 2-methyl-1-imidazolyl 730 CO ₂ CH ₃ phenyl 2-methyl-1-imidazolyl 731 CO ₂ CH ₃ 2-pyridyl 2-methylsulfonyl)phenyl			F	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
717 F 2.6-diF-phenyl 4-morpholinocarbonyl 718 F 2.6-diF-phenyl 2-methyl-1-imidazolyl 720 F 2.6-diF-phenyl 2-methyl-1-imidazolyl 720 F 2.6-diF-phenyl 2-methyl-1-imidazolyl 721 CO2CH3 phenyl 2-(mainosulfonyl)phenyl 722 CO2CH3 phenyl 2-(mainosulfonyl)phenyl 724 CO2CH3 phenyl 2-(methylsulfonyl)phenyl 725 CO2CH3 phenyl 2-(methylsulfonyl)phenyl 726 CO2CH3 phenyl 2-(methylsulfonyl)phenyl 727 CO2CH3 phenyl 2-(1'-CF3-tetrazol-2-yl)phenyl 728 CO2CH3 phenyl 2-methyl-1-imidazolyl 729 CO2CH3 phenyl 2-methyl-1-imidazolyl 730 CO2CH3 phenyl 2-methyl-1-imidazolyl 731 CO2CH3 2-pyridyl 2-methylsulfonyl)phenyl 731 CO2CH3 2-pyridyl 2-methylsulfonyl)phenyl 731 CO2CH3 2-pyridyl 2-methylsulfonyl)phenyl 731 CO2CH3 2-pyridyl 2-methylsulfonyl)phenyl 731 CO2CH3 2-pyridyl 2-(aminosulfonyl)phenyl 2-methylsulfonyl)phenyl 731 CO2CH3 2-pyridyl 2-(aminosulfonyl)phenyl 2-methylsulfonyl)phenyl 2-methylsulfonyl)phenyl 2-methylsulfonyl)phenyl 2-methylsulfonyl)phenyl 2-(aminosulfonyl)phenyl 2-(2,6-diF-phenyl	4-morpholino
718			F	2,6-diF-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
Tight Tigh				2,6-diF-phenyl	4-morpholinocarbonyl
720 F 2,6-diF-phenyl 2-methylsulfonyl-1-imidazolyl 721 CO ₂ CH ₃ phenyl 2-(methylaminosulfonyl)phenyl 722 CO ₂ CH ₃ phenyl 2-(methylaminosulfonyl)phenyl 724 CO ₂ CH ₃ phenyl 1-pytrolidinocarbonyl 725 CO ₂ CH ₃ phenyl 2-(methylsulfonyl)phenyl 726 CO ₂ CH ₃ phenyl 4-morpholino 727 CO ₂ CH ₃ phenyl 2-(1'-CF ₃ -tetrazol-2-yl)phenyl 728 CO ₂ CH ₃ phenyl 2-methyl-1-imidazolyl 729 CO ₂ CH ₃ phenyl 2-methyl-1-imidazolyl 729 CO ₂ CH ₃ phenyl 2-methyl-1-imidazolyl 730 CO ₂ CH ₃ phenyl 2-methylsulfonyl-1-imidazolyl 731 CO ₂ CH ₃ 2-pyridyl 2-(minosulfonyl)phenyl				2,6-diF-phenyl	2-methyl-1-imidazolyl
721 CO ₂ CH ₃ phenyl 2-(aminosulfonyl)phenyl 722 CO ₂ CH ₃ phenyl 2-(methylaminosulfonyl)phenyl 723 CO ₂ CH ₃ phenyl 1-pyrrolidinocarbonyl 724 CO ₂ CH ₃ phenyl 2-(methylsulfonyl)phenyl 725 CO ₂ CH ₃ phenyl 4-morpholino 726 CO ₂ CH ₃ phenyl 2-(1'-CF ₃ -tetrazol-2-yl)phenyl 727 CO ₂ CH ₃ phenyl 4-morpholinocarbonyl 728 CO ₂ CH ₃ phenyl 2-methyl-1-imidazolyl 729 CO ₂ CH ₃ phenyl 5-methyl-1-imidazolyl 730 CO ₂ CH ₃ phenyl 2-methylsulfonyl-1-imidazolyl 731 CO ₂ CH ₃ 2-pyridyl 2-(aminosulfonyl)phenyl					
722 CO ₂ CH ₃ phenyl 2-(methylaminosulfonyl)phenyl 723 CO ₂ CH ₃ phenyl 1-pyrrolidinocarbonyl 724 CO ₂ CH ₃ phenyl 2-(methylsulfonyl)phenyl 725 CO ₂ CH ₃ phenyl 4-morpholino 726 CO ₂ CH ₃ phenyl 2-(1'-CF ₃ -tetrazol-2-yl)phenyl 727 CO ₂ CH ₃ phenyl 2-methyl-1-imidazolyl 728 CO ₂ CH ₃ phenyl 2-methyl-1-imidazolyl 730 CO ₂ CH ₃ phenyl 2-methylsulfonyl-1-imidazolyl 731 CO ₂ CH ₃ 2-pyridyl 2-(aminosulfonyl)phenyl	_				2-methylsulfonyl-1-imidazolyl
723 CO ₂ CH ₃ phenyl 1-pyrrolidinocarbonyl 724 CO ₂ CH ₃ phenyl 2-(methylsulfonyl)phenyl 725 CO ₂ CH ₃ phenyl 4-morpholino 726 CO ₂ CH ₃ phenyl 2-(1'-CF ₃ -tetrazol-2-yl)phenyl 727 CO ₂ CH ₃ phenyl 4-morpholinocarbonyl 728 CO ₂ CH ₃ phenyl 2-methyl-1-imidazolyl 729 CO ₂ CH ₃ phenyl 5-methyl-1-imidazolyl 730 CO ₂ CH ₃ phenyl 2-methylsulfonyl-1-imidazolyl 731 CO ₂ CH ₃ 2-pyridyl 2-(aminosulfonyl)phenyl					2-(aminosulfonyl)phenyl
724 CO ₂ CH ₃ phenyl 2-(methylsulfonyl)phenyl 725 CO ₂ CH ₃ phenyl 4-morpholino 726 CO ₂ CH ₃ phenyl 2-(1'-CF ₃ -tetrazol-2-yl)phenyl 727 CO ₂ CH ₃ phenyl 4-morpholinocarbonyl 728 CO ₂ CH ₃ phenyl 2-methyl-1-imidazolyl 729 CO ₂ CH ₃ phenyl 5-methyl-1-imidazolyl 730 CO ₂ CH ₃ phenyl 2-methylsulfonyl-1-imidazolyl 731 CO ₂ CH ₃ 2-pyridyl 2-(aminosulfonyl)phenyl			CO ₂ CH ₃	phenyl	2-(methylaminosulfonyl)phenyl
725 CO ₂ CH ₃ phenyl 4-morpholino		723	CO2CH3	phenyl	1-pyrrolidinocarbonyl
725 CO ₂ CH ₃ phenyl 4-morpholino		724	CO2CH3	phenvl	2-(methylsulfonyl)phenyl
726 CO ₂ CH ₃ phenyl 2-(1'-CF ₃ -tetrazol-2-y1)phenyl 727 CO ₂ CH ₃ phenyl 4-morpholinocarbonyl 728 CO ₂ CH ₃ phenyl 2-methyl-1-imidazolyl 729 CO ₂ CH ₃ phenyl 5-methyl-1-imidazolyl 730 CO ₂ CH ₃ phenyl 2-methylsulfonyl-1-imidazolyl 731 CO ₂ CH ₃ 2-pyridyl 2-(aminosulfonyl)phenyl		725			
727 CO ₂ CH ₃ phenyl 4-morpholinocarbonyl 728 CO ₂ CH ₃ phenyl 2-methyl-1-imidazolyl 729 CO ₂ CH ₃ phenyl 5-methyl-1-imidazolyl 730 CO ₂ CH ₃ phenyl 2-methylsulfonyl-1-imidazolyl 731 CO ₂ CH ₃ 2-pyridyl 2-(aminosulfonyl)phenyl					
728 CO ₂ CH ₃ phenyl 2-methyl-1-imidazolyl 729 CO ₂ CH ₃ phenyl 5-methyl-1-imidazolyl 730 CO ₂ CH ₃ phenyl 2-methyl-1-imidazolyl 731 CO ₂ CH ₃ 2-pyridyl 2-(aminosulfonyl)phenyl					
729 CO ₂ CH ₃ phenyl 5-methyl-1-imidazolyl 730 CO ₂ CH ₃ phenyl 2-methylsulfonyl-1-imidazolyl 731 CO ₂ CH ₃ 2-pyridyl 2-(aminosulfonyl)phenyl					
730 CO ₂ CH ₃ phenyl 2-methylsulfonyl-1-imidazolyl 731 CO ₂ CH ₃ 2-pyridyl 2-(aminosulfonyl)phenyl					
731 CO ₂ CH ₃ 2-pyridyl 2-(aminosulfonyl)phenyl					
	_	730	CO ₂ CH ₃	phenyl	2-methylsulfonyl-1-imidazolyl
732 CO ₂ CH ₃ 2-pyridyl 2-(methylaminosulfonvl)phenvl	_	731	CO2CH3	2-pyridyl	2-(aminosulfonyl)phenyl
		732	CO ₂ CH ₃	2-pyridyl	2-(methylaminosulfonyl)phenyl

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733	CO2CH3	2-pyridyl	1-pyrrolidinocarbonyl
734	CO ₂ CH ₃	2-pyridyl	2-(methylsulfonyl)phenyl
735	CO ₂ CH ₃	2-pyridyl	4-morpholino
736	CO2CH3	2-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
737	CO ₂ CH ₃	2-pyridyĺ	4-morpholinocarbonyl
738	CO2CH3	2-pyridyl	2-methyl-1-imidazolyl
739	CO2CH3	2-pyridyl	5-methyl-1-imidazolyl
740	CO_2CH_3	2-pyridyl	2-methylsulfonyl-1-imidazolyl
741	CO ₂ CH ₃	3-pyridyl	2-(aminosulfonyl)phenyl
742	CO2CH3	3-pyridyl	2-(methylaminosulfonyl)phenyl
743	CO ₂ CH ₃	3-pyridyl	1-pyrrolidinocarbonyl
744	CO ₂ CH ₃	3-pyridyl	2-(methylsulfonyl)phenyl
745	CO_2CH_3	3-pyridyl	4-morpholino
746	CO_2CH_3	3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
747	CO ₂ CH ₃	3-pyridyl	4-morpholinocarbonyl
748	CO ₂ CH ₃	3-pyridyl	2-methyl-1-imidazolyl
749	CO ₂ CH ₃	3-pyridyl	5-methyl-1-imidazolyl
750	CO ₂ CH ₃	3-pyridyl	2-methylsulfonyl-1-imidazolyl
751	CO ₂ CH ₃	2-pyrimidyl	2-(aminosulfonyl)phenyl
752	CO ₂ CH ₃	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
753	CO ₂ CH ₃	2-pyrimidyl	1-pyrrolidinocarbonyl
754	CO_2CH_3	2-pyrimidyl	2-(methylsulfonyl)phenyl
755	CO ₂ CH ₃	2-pyrimidyl	4-morpholino
756	CO_2CH_3	2-pyrimidyl	2-(1'-CF3-tetrazol-2-y1)phenyl
757	CO_2CH_3	2-pyrimidyl	4-morpholinocarbonyl
758	CO_2CH_3	2-pyrimidyl	2-methyl-1-imidazolyl
. 759	CO ₂ CH ₃	2-pyrimidyl	5-methyl-1-imidazolyl
760	CO ₂ CH ₃	2-pyrimidyl	2-methylsulfonyl-1-imidazolyl
761	CO ₂ CH ₃	5-pyrimidyl	2-(aminosulfonyl)phenyl

5-pyrimidyl 1-pyrrolidinocarbonyl CO2CH3 764 2-(methylsulfonyl)phenyl CO2CH3 5-pyrimidyl 765 CO2CH3 5-pyrimidyl 4-morpholino 766 2-(1'-CF3-tetrazol-2-yl)phenyl CO2CH3 5-pyrimidyl 767 CO2CH3 5-pyrimidyl 4-morpholinocarbonvl 768 CO2CH3 5-pyrimidyl 2-methyl-1-imidazolyl 769 CO2CH3 5-pyrimidyl 5-methyl-1-imidazolyl 770 5-pyrimidyl CO2CH3 2-methylsulfonyl-1-imidazolyl 771 2-Cl-phenyl 2-(aminosulfonyl)phenyl CO2CH3 772 2-C1-phenyl 2-(methylaminosulfonyl)phenyl CO2CH3 773 CO2CH3 2-C1-phenvl 1-pyrrolidinocarbonyl 774 CO2CH3 2-C1-phenyl 2-(methylsulfonyl)phenyl 775 CO2CH3 2-C1-phenyl 4-morpholino 776 CO2CH3 2-C1-phenvl 2-(1'-CF3-tetrazol-2-yl)phenyl 777 2-C1-phenyl 4-morpholinocarbonyl CO2CH3 778 CO2CH3 2-Cl-phenyl 2-methyl-1-imidazolyl 779 2-C1-phenvl 5-methyl-1-imidazolyl CO2CH3 2-methylsulfonyl-1-imidazolyl 780 CO2CH3 2-Cl-phenvl

2-(methylaminosulfonyl)phenyl

762

763

CO2CH3

5-pvrimidvl

**	0 90/202	04		
	781	CO ₂ CH ₃	2-F-phenyl	2-(aminosulfonyl)phenyl
	782	CO ₂ CH ₃	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	783	CO_2CH_3	2-F-phenyl	1-pyrrolidinocarbonyl
	784	CO ₂ CH ₃	2-F-phenyl	2-(methylsulfonyl)phenyl
	785	CO ₂ CH ₃	2-F-phenyl	4-morpholino
	786	CO ₂ CH ₃	2-F-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	787	CO ₂ CH ₃	2-F-phenyl	4-morpholinocarbonyl
	788	CO2CH3	2-F-phenyl	2-methyl-1-imidazolyl
	789	CO ₂ CH ₃	2-F-phenyl	5-methyl-1-imidazolyl
	790	CO ₂ CH ₃	2-F-phenyl	2-methylsulfonyl-1-imidazolyl
•	791	CO ₂ CH ₃	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
	792	CO ₂ CH ₃	2,6-diF-phenvl	2-(methylaminosulfonyl)phenyl
	793	CO ₂ CH ₃	2,6-diF-phenyl	1-pyrrolidinocarbonyl
	794	CO ₂ CH ₃	2,6-diF-phenvl	2-(methylsulfonyl)phenyl
	795	CO ₂ CH ₃	2,6-diF-phenyl	4-morpholino
	796	CO ₂ CH ₃	2,6-diF-phenyl	2-(1'-CF3-tetrazol-2-y1)phenyl
	797	CO ₂ CH ₃	2,6-diF-phenyl	4-morpholinocarbonyl
	798	CO ₂ CH ₃	2,6-diF-phenyl	2-methyl-1-imidazolyl
	799	CO ₂ CH ₃	2,6-diF-phenyl	5-methyl-1-imidazolyl
	800	CO ₂ CH ₃	2,6-diF-phenyl	2-methylsulfonyl-1-imidazolyl
•	801	CH ₂ OCH ₃	phenyl	2-(aminosulfonyl)phenyl
	802	CH ₂ OCH ₃	phenyl	2-(methylaminosulfonyl)phenyl
	803	CH ₂ OCH ₃	phenyl	1-pyrrolidinocarbonyl
	804	CH ₂ OCH ₃	phenyl	2-(methylsulfonyl)phenyl
	805	CH2OCH3	phenyl	4-morpholino
	806	CH ₂ OCH ₃	phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	807	CH ₂ OCH ₃	phenyl	4-morpholinocarbonyl
	808	CH ₂ OCH ₃	phenyl	2-methyl-1-imidazolyl
	809	CH ₂ OCH ₃	phenyl	5-methyl-1-imidazolyl
	810	CH ₂ OCH ₃	phenyl	2-methylsulfonyl-1-imidazolyl
-	811	CH ₂ OCH ₃	2-pyridyl	2-(aminosulfonyl)phenyl
	812	CH ₂ OCH ₃	2-pyridyl	2-(methylaminosulfonyl)phenyl
	813	CH ₂ OCH ₃	2-pyridyl	1-pyrrolidinocarbonyl
	814	CH ₂ OCH ₃	2-pyridyl	2-(methylsulfonyl)phenyl
	815	CH ₂ OCH ₃	2-pyridyl	4-morpholino
	816	CH ₂ OCH ₃	2-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	817	CH ₂ OCH ₃	2-pyridyl	4-morpholinocarbonyl
	818	CH ₂ OCH ₃	2-pyridyl	2-methyl-1-imidazolyl
	819	CH ₂ OCH ₃	2-pyridyl 2-pyridyl	5-methyl-1-imidazolyl
	820	CH ₂ OCH ₃	2-pyridyl 2-pyridyl	2-methylsulfonyl-1-imidazolyl
-	821	CH2OCH3	3-pyridyl	2-methylsulfonyl-1-imidazolyl 2-(aminosulfonyl)phenyl
	822	CH ₂ OCH ₃	3-pyridyi 3-pyridyl	2-(aminosulfonyl)phenyl 2-(methylaminosulfonyl)phenyl
	823	CH ₂ OCH ₃	3-pyridyl 3-pyridyl	1-pyrrolidinocarbonyl
	824			
	824 825	CH ₂ OCH ₃	3-pyridyl	2-(methylsulfonyl)phenyl
		CH ₂ OCH ₃	3-pyridyl	4-morpholino
	826	CH ₂ OCH ₃	3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	827	CH ₂ OCH ₃	3-pyridyl	4-morpholinocarbonyl
	828	CH ₂ OCH ₃	3-pyridyl	2-methyl-1-imidazolyl

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	829	CH2OCH3	3-pyridyl	5-methyl-1-imidazolyl
	830	CH ₂ OCH ₃	3-pyridyl	2-methylsulfonyl-1-imidazolyl
	831	CH ₂ OCH ₃	2-pyrimidyl	2-(aminosulfonyl)phenyl
	832	CH2OCH3	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	833	CH2OCH3	2-pyrimidyl	1-pyrrolidinocarbonyl
	834	CH2OCH3	2-pyrimidyl	2-(methylsulfonyl)phenyl
	835	CH2OCH3	2-pyrimidyl	4-morpholino
	836	CH2OCH3	2-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	837	CH2OCH3	2-pyrimidyl	4-morpholinocarbonyl
	838	CH ₂ OCH ₃	2-pyrimidyl	2-methyl-1-imidazolyl
	839	CH2OCH3	2-pyrimidyl	5-methyl-1-imidazolyl
	840	CH2OCH3	2-pyrimidyl	2-methylsulfonyl-1-imidazolyl
	841	CH ₂ OCH ₃	5-pyrimidyl	2-(aminosulfonyl)phenyl
	842	CH2OCH3		2-(methylaminosulfonyl)phenyl
	843	CH ₂ OCH ₃	5-pyrimidyl	1-pyrrolidinocarbonyl
	844	CH2OCH3	5-pyrimidyl	2-(methylsulfonyl)phenyl
	845	CH2OCH3		4-morpholino
	846	CH ₂ OCH ₃	5-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	847	CH ₂ OCH ₃	5-pyrimidyl	4-morpholinocarbonyl
	848	CH ₂ OCH ₃	5-pyrimidyl	2-methyl-1-imidazolyl
	849	CH ₂ OCH ₃	5-pyrimidyl	5-methyl-1-imidazolyl
	850	CH ₂ OCH ₃	5-pyrimidyl	2-methylsulfonyl-1-imidazolyl
	851	CH ₂ OCH ₃	2-Cl-phenyl	2-(aminosulfonyl)phenyl
	852	CH ₂ OCH ₃	2-C1-phenyl	2-(methylaminosulfonyl)phenyl
	853	CH ₂ OCH ₃	2-Cl-phenyl	1-pyrrolidinocarbonyl
	854	CH ₂ OCH ₃	2-C1-phenyl	2-(methylsulfonyl)phenyl
	855	CH ₂ OCH ₃	2-Cl-phenyl	4-morpholino
	856 857	CH ₂ OCH ₃	2-Cl-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	858	CH ₂ OCH ₃	2-Cl-phenyl 2-Cl-phenyl	4-morpholinocarbonyl 2-methyl-1-imidazolyl
	859	CH ₂ OCH ₃	2-C1-phenyl 2-C1-phenyl	
	860	CH ₂ OCH ₃ CH ₂ OCH ₃	2-C1-phenyl 2-C1-phenyl	5-methyl-1-imidazolyl 2-methylsulfonyl-1-imidazolyl
-	861	CH ₂ OCH ₃	2-F-phenyl	2-(aminosulfonyl)phenyl
	862	CH ₂ OCH ₃	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	863	CH ₂ OCH ₃	2-F-phenyl	1-pyrrolidinocarbonyl
	864	CH ₂ OCH ₃	2-F-phenyl	2-(methylsulfonyl)phenyl
	865	CH ₂ OCH ₃	2-F-phenyl	4-morpholino
	866	CH ₂ OCH ₃	2-F-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	867	CH ₂ OCH ₃	2-F-phenyl	4-morpholinocarbonyl
	868	CH ₂ OCH ₃	2-F-phenyl	2-methyl-1-imidazolyl
	869	CH ₂ OCH ₃	2-F-phenyl	5-methyl-1-imidazolyl
	870	CH ₂ OCH ₃	2-F-phenyl	2-methylsulfonyl-1-imidazolyl
-	871	CH ₂ OCH ₃	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
	872		2,6-dif-phenyl	2-(aminosulfonyl)phenyl 2-(methylaminosulfonyl)phenyl
	072	CH2OCH3	2,0-dir-phenyi	v (wecultaminosarronar) buenar

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CH2OCH3 2,6-diF-phenyl 2-(1'-CF3-tetrazol-2-yl)phenyl

1-pyrrolidinocarbonyl

2-(methylsulfonyl)phenyl

4-morpholino

873 CH₂OCH₃ 2,6-diF-phenyl

874 CH₂OCH₃ 2,6-diF-phenyl

875 CH₂OCH₃ 2,6-diF-phenyl

876

	877	CH ₂ OCH ₃	2,6-diF-phenyl	4-morpholinocarbonyl
	878	CH2OCH3	2,6-diF-phenyl	2-methyl-1-imidazolyl
	879	CH2OCH3	2,6-diF-phenyl	5-methyl-1-imidazolyl
	880	CH ₂ OCH ₃	2,6-diF-phenyl	2-methylsulfonyl-1-imidazolyl
	881	CONH ₂	phenyl	2-(aminosulfonyl)phenyl
	882	CONH ₂	phenyl	2-(methylaminosulfonyl)phenyl
	883	CONH ₂	phenyl	1-pyrrolidinocarbonyl
	884	CONH ₂	phenyl	2-(methylsulfonyl)phenyl
	885	CONH ₂	phenyl	4-morpholino
	886	CONH ₂	phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	887	CONH ₂	phenyl	4-morpholinocarbonyl
	888	CONH ₂	phenyl	2-methyl-1-imidazolyl
	889	CONH ₂	phenyl	5-methyl-1-imidazolyl
	890	CONH ₂	phenyl	2-methylsulfonyl-1-imidazolyl
-	891	CONH ₂	2-pyridyl	2-(aminosulfonyl)phenyl
	892	CONH ₂	2-pyridyl	2-(methylaminosulfonyl)phenyl
	893	CONH ₂	2-pyridyl	1-pyrrolidinocarbonyl
	894	CONH ₂	2-pyridyl	2-(methylsulfonyl)phenyl
	895	CONH ₂	2-pyridyl	4-morpholino
	896	CONH ₂	2-pyridyl	2-(1'-CF3-tetrazol-2-y1)phenyl
	897	CONH ₂	2-pyridyl	4-morpholinocarbonyl
	898	CONH ₂	2-pyridyl	2-methyl-1-imidazolyl
	899	CONH ₂	2-pyridyl	5-methyl-1-imidazolyl
	900	CONH ₂	2-pyridyl	2-methylsulfonyl-1-imidazolyl
	901	CONH ₂	3-pyridyl	2-(aminosulfonyl)phenyl
	902	CONH ₂	3-pyridyl	2-(methylaminosulfonyl)phenyl
	903	CONH ₂	3-pyridyl	1-pyrrolidinocarbonyl
	904	CONH ₂	3-pyridyl	2-(methylsulfonyl)phenyl
	905	CONH ₂	3-pyridyl	4-morpholino
	906	CONH ₂	3-pyridyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	907	CONH ₂	3-pyridyl	4-morpholinocarbonyl
	908	CONH ₂	3-pyridyl	2-methyl-1-imidazolyl
	909	CONH ₂	3-pyridyl	5-methyl-1-imidazolyl
_	910	CONH ₂	3-pyridyl	2-methylsulfonyl-1-imidazolyl
	911	CONH ₂	2-pyrimidyl	2-(aminosulfonyl)phenyl
	912	CONH ₂	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	913	CONH ₂	2-pyrimidyl	1-pyrrolidinocarbonyl
	914	CONH ₂	2-pyrimidyl	2-(methylsulfonyl)phenyl
	915	CONH ₂	2-pyrimidyl	4-morpholino
	916	CONH ₂	2-pyrimidyl	2-(1'-CF3-tetrazol-2-yl)phenyl
	917	CONH ₂	2-pyrimidyl	4-morpholinocarbonyl
	918	CONH ₂	2-pyrimidyl	2-methyl-1-imidazolyl
	919	CONH ₂	2-pyrimidyl	5-methyl-1-imidazolyl
	920	CONH ₂	2-pyrimidyl	2-methylsulfonyl-1-imidazolyl
	921	CONH ₂	5-pyrimidyl	2-(aminosulfonyl)phenyl
	922	CONH ₂	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
	923	CONH ₂	5-pyrimidyl	1-pyrrolidinocarbonyl
	924	CONH ₂	5-pyrimidyl	2-(methylsulfonyl)phenyl

925	CONHo	5-pyrimidyl	4-morpholino
			2-(1'-CF3-tetrazol-2-yl)phenyl
926	CONH ₂	5-pyrimidyl	
927	CONH ₂	5-pyrimidyl	4-morpholinocarbonyl
928	CONH ₂	5-pyrimidyl	2-methyl-1-imidazolyl
929	CONH ₂	5-pyrimidyl	5-methyl-1-imidazolyl
930	CONH ₂	5-pyrimidyl	2-methylsulfonyl-1-imidazolyl
931	$CONH_2$	2-Cl-phenyl	2-(aminosulfonyl)phenyl
932	CONH ₂	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
933	CONH ₂	2-Cl-phenyl	1-pyrrolidinocarbonyl
934	CONH ₂	2-Cl-phenyl	<pre>2-(methylsulfonyl)phenyl</pre>
935	$CONH_2$	2-Cl-phenyl	4-morpholino
936	CONH ₂	2-Cl-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
937	CONH ₂	2-Cl-phenyl	4-morpholinocarbonyl
938	CONH ₂	2-Cl-phenyl	2-methyl-1-imidazolyl
939	CONH ₂	2-Cl-phenyl	5-methyl-1-imidazolyl
940	CONH ₂	2-Cl-phenyl	2-methylsulfonyl-1-imidazolyl
941	CONH ₂	2-F-phenyl	2-(aminosulfonyl)phenyl
942	CONH ₂	2-F-phenyl	2-(methylaminosulfonyl)phenyl
943	CONH ₂	2-F-phenyl	1-pyrrolidinocarbonyl
944	CONH ₂	2-F-phenyl	2-(methylsulfonyl)phenyl
945	CONH ₂	2-F-phenyl	4-morpholino
946	CONH ₂	2-F-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
947	CONH ₂	2-F-phenyl	4-morpholinocarbonyl
948	CONH ₂	2-F-phenyl	2-methyl-1-imidazolyl
949	CONH ₂	2-F-phenyl	5-methyl-1-imidazolyl
950	CONH ₂	2-F-phenyl	2-methylsulfonyl-1-imidazolyl
951	CONH ₂	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
952	CONH ₂	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
953	CONH ₂	2,6-diF-phenyl	1-pyrrolidinocarbonyl
954	CONH ₂	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
955	CONH ₂	2,6-diF-phenyl	4-morpholino
956	CONH ₂	2,6-diF-phenyl	2-(1'-CF3-tetrazol-2-yl)phenyl
957	CONH ₂	2,6-diF-phenyl	4-morpholinocarbonyl
958	CONH ₂	2,6-diF-phenyl	2-methyl-1-imidazolyl
959	CONH ₂	2,6-diF-phenyl	5-methyl-1-imidazolyl
960	CONH ₂	2,6-diF-phenyl	2-methylsulfonyl-1-imidazolyl

Utility

The compounds of this invention are useful as anticoagulants for the treatment or prevention of thromboembolic disorders in mammals. The term "thromboembolic disorders" as used herein includes arterial or venous cardiovascular or cerebrovascular thromboembolic disorders, including, for example, unstable angina, first or recurrent myocardial infarction, ischemic sudden death, transient ischemic attack, stroke, atherosclerosis, venous thrombosis, deep vein thrombosis, thrombophlebitis, arterial embolism, coronary and cerebral arterial thrombosis, cerebral embolism, kidney embolisms, and pulmonary embolisms. The anticoagulant effect of compounds of the present invention is believed to be due to inhibition of factor Xa or thrombin.

The effectiveness of compounds of the present invention as inhibitors of factor Xa was determined using purified human factor Xa and synthetic substrate. The rate of factor Xa hydrolysis of chromogenic substrate S2222 (Kabi Pharmacia, Franklin, OH) was measured both in the absence and presence of compounds of the present invention. Hydrolysis of the substrate resulted in the release of pNA, which was monitored spectrophotometrically by measuring the increase in absorbance at 405 mM. A decrease in the rate of absorbance change at 405 mm in the presence of inhibitor is indicative of enzyme inhibition. The results of this assay are expressed as inhibitory constant, Ki.

Factor Xa determinations were made in 0.10 M sodium phosphate buffer, pH 7.5, containing 0.20 M NaCl, and 0.5 % PEG 8000. The Michaelis constant, K_m, for substrate hydrolysis was determined at 25°C using the method of Lineweaver and Burk. Values of K_I were determined by allowing 0.2-0.5 nM human factor Xa (Enzyme Research Laboratories, South Bend, IN) to react with the substrate (0.20 mM-1 mM) in the presence of inhibitor. Reactions were allowed to go for 30 minutes and the velocities (rate of absorbance change vs time) were measured in the time frame of 25-30 minutes. The following relationship was used to calculate K_I values:

 $(v_0-v_s)/v_s = I/(K_i (1 + S/K_m))$

where:

 v_{O} is the velocity of the control in the absence of inhibitor;

vs is the velocity in the presence of inhibitor;

I is the concentration of inhibitor;

Ki is the dissociation constant of the enzyme:inhibitor complex;

S is the concentration of substrate;

Km is the Michaelis constant.

Using the methodology described above, a number of compounds of the present invention were found to exhibit a K_i of ≤ 10 μM , thereby confirming the utility of the compounds of the present invention as effective Xa inhibitors.

The antithrombotic effect of compounds of the present invention can be demonstrated in a rabbit arterio-venous (AV) shunt thrombosis model. In this model, rabbits weighing 2-3 kg anesthetized with a mixture of xylazine (10 mg/kg i.m.) and ketamine (50 mg/kg i.m.) are used. A saline-filled AV shunt device is connected between the femoral arterial and the femoral venous cannulae. The AV shunt device consists of a piece of 6-cm tygon tubing which contains a piece of silk thread. Blood will flow from the femoral artery via the AVshunt into the femoral vein. The exposure of flowing blood to a silk thread will induce the formation of a significant thrombus. After forty minutes, the shunt is disconnected and the silk thread covered with thrombus is weighed. Test agents or vehicle will be given (i.v., i.p., s.c., or orally) prior to the opening of the AV shunt. The percentage inhibition of thrombus formation is determined for each treatment group. The ID50 values (dose which produces 50% inhibition of thrombus formation) are estimated by linear regression.

The compounds of formula (I) may also be useful as inhibitors of serine proteases, notably human thrombin, plasma kallikrein and plasmin. Because of their inhibitory action, these compounds are indicated for use in the prevention or treatment of physiological reactions, blood coagulation and inflammation, catalyzed by the aforesaid class of enzymes. Specifically, the compounds have utility as drugs for the

treatment of diseases arising from elevated thrombin activity such as myocardial infarction, and as reagents used as anticoagulants in the processing of blood to plasma for diagnostic and other commercial purposes.

Some compounds of the present invention were shown to be direct acting inhibitors of the serine protease thrombin by their ability to inhibit the cleavage of small molecule substrates by thrombin in a purified system. In vitro inhibition constants were determined by the method described by Kettner et al. in J. Biol. Chem. 265, 18289-18297 (1990), herein incorporated by reference. In these assays, thrombinmediated hydrolysis of the chromogenic substrate S2238 (Helena Laboratories, Beaumont, TX) was monitored spectrophotometrically. Addition of an inhibitor to the assay mixture results in decreased absorbance and is indicative of thrombin inhibition. Human thrombin (Enzyme Research Laboratories, Inc., South Bend, IN) at a concentration of 0.2 nM in 0.10 M sodium phosphate buffer, pH 7.5, 0.20 M NaCl, and 0.5% PEG 6000, was incubated with various substrate concentrations ranging from 0.20 to 0.02 mM. After 25 to 30 minutes of incubation, thrombin activity was assayed by monitoring the rate of increase in absorbance at 405 nm which arises owing to substrate hydrolysis. Inhibition constants were derived from reciprocal plots of the reaction velocity as a function of substrate concentration using the standard method of Lineweaver and Burk. Using the methodology described above, some compounds of this invention were evaluated and found to exhibit a K; of less than 10 µm, thereby confirming the utility of the compounds of the present invention as effective Xa inhibitors.

The compounds of the present invention can be administered alone or in combination with one or more additional therapeutic agents. These include other anticoagulant or coagulation inhibitory agents, anti-platelet or platelet inhibitory agents, thrombin inhibitors, or thrombolytic or fibrinolytic agents.

The compounds are administered to a mammal in a therapeutically effective amount. By "therapeutically

effective amount" it is meant an amount of a compound of Formula I that, when administered alone or in combination with an additional therapeutic agent to a mammal, is effective to prevent or ameliorate the thromboembolic disease condition or the progression of the disease.

By "administered in combination" or "combination therapy" it is meant that the compound of Formula I and one or more additional therapeutic agents are administered concurrently to the mammal being treated. When administered in combination each component may be administered at the same time or sequentially in any order at different points in time. Thus, each component may be administered separately but sufficiently closely in time so as to provide the desired therapeutic effect. Other anticoagulant agents (or coagulation inhibitory agents) that may be used in combination with the compounds of this invention include warfarin and heparin, as well as other factor Xa inhibitors such as those described in the publications identified above under Background of the Invention.

The term anti-platelet agents (or platelet inhibitory agents), as used herein, denotes agents that inhibit platelet function such as by inhibiting the aggregation, adhesion or granular secretion of platelets. Such agents include, but are not limited to, the various known non-steroidal antiinflammatory drugs (NSAIDS) such as aspirin, ibuprofen, naproxen, sulindac, indomethacin, mefenamate, droxicam, diclofenac, sulfinpyrazone, and piroxicam, including pharmaceutically acceptable salts or prodrugs thereof. Of the NSAIDS, aspirin (acetylsalicyclic acid or ASA), and piroxicam are preferred. Other suitable anti-platelet agents include ticlopidine, including pharmaceutically acceptable salts or prodrugs thereof. Ticlopidine is also a preferred compound since it is known to be gentle on the gastro-intestinal tract in use. Still other suitable platelet inhibitory agents include IIb/IIIa antagonists, thromboxane-A2-receptor antagonists and thromboxane-A2-synthetase inhibitors, as well as pharmaceutically acceptable salts or prodrugs thereof.

The term thrombin inhibitors (or anti-thrombin agents), as used herein, denotes inhibitors of the serine protease thrombin. By inhibiting thrombin, various thrombin-mediated processes, such as thrombin-mediated platelet activation (that is, for example, the aggregation of platelets, and/or the granular secretion of plasminogen activator inhibitor-1 and/or serotonin) and/or fibrin formation are disrupted. A number of thrombin inhibitors are known to one of skill in the art and these inhibitors are contemplated to be used in combination with the present compounds. Such inhibitors include, but are not limited to, boroarginine derivatives, boropeptides. heparins, hirudin and argatroban, including pharmaceutically acceptable salts and prodrugs thereof. Boroarginine derivatives and boropeptides include N-acetyl and peptide derivatives of boronic acid, such as C-terminal a-aminoboronic acid derivatives of lysine, ornithine, arginine, homoarginine and corresponding isothiouronium analogs thereof. The term hirudin, as used herein, includes suitable derivatives or analogs of hirudin, referred to herein as hirulogs, such as disulfatohirudin. Boropeptide thrombin inhibitors include compounds described in Kettner et al., U.S. Patent No. 5,187,157 and European Patent Application Publication Number 293 881 A2, the disclosures of which are hereby incorporated herein by reference. Other suitable boroarginine derivatives and boropeptide thrombin inhibitors include those disclosed in PCT Application Publication Number 92/07869 and European Patent Application Publication Number 471,651 A2, the disclosures of which are hereby incorporated herein by reference.

The term thrombolytics (or fibrinolytic) agents (or thrombolytics or fibrinolytics), as used herein, denotes agents that lyse blood clots (thrombi). Such agents include tissue plasminogen activator, anistreplase, urokinase or streptokinase, including pharmaceutically acceptable salts or prodrugs thereof. The term anistreplase, as used herein, refers to anisoylated plasminogen streptokinase activator complex, as described, for example, in European Patent Application No. 028,489, the disclosure of which is hereby

incorporated herein by reference herein. The term urokinase, as used herein, is intended to denote both dual and single chain urokinase, the latter also being referred to herein as prourokinase.

Administration of the compounds of Formula I of the invention in combination with such additional therapeutic agent, may afford an efficacy advantage over the compounds and agents alone, and may do so while permitting the use of lower doses of each. A lower dosage minimizes the potential of side effects, thereby providing an increased margin of safety.

The compounds of the present invention are also useful as standard or reference compounds, for example as a quality standard or control, in tests or assays involving the inhibition of factor Xa. Such compounds may be provided in a commercial kit, for example, for use in pharmaceutical research involving factor Xa. For example, a compound of the present invention could be used as a reference in an assay to compare its known activity to a compound with an unknown activity. This would ensure the experimenter that the assay was being performed properly and provide a basis for comparison, especially if the test compound was a derivative of the reference compound. When developing new assays or protocols, compounds according to the present invention could be used to test their effectiveness.

The compounds of the present invention may also be used in diagnostic assays involving factor Xa. For example, the presence of factor Xa in an unknown sample could be determined by addition of chromogenic substrate S2222 to a series of solutions containing test sample and optionally one of the compounds of the present invention. If production of pNA is observed in the solutions containing test sample, but no compound of the present invention, then one would conclude factor Xa was present.

Dosage and Formulation

The compounds of this invention can be administered in such oral dosage forms as tablets, capsules (each of which includes sustained release or timed release formulations),

pills, powders, granules, elixirs, tinctures, suspensions, syrups, and emulsions. They may also be administered in intravenous (bolus or infusion), intraperitoneal, subcutaneous, or intramuscular form, all using dosage forms well known to those of ordinary skill in the pharmaceutical arts. They can be administered alone, but generally will be administered with a pharmaceutical carrier selected on the basis of the chosen route of administration and standard pharmaceutical practice.

The dosage regimen for the compounds of the present invention will, of course, vary depending upon known factors, such as the pharmacodynamic characteristics of the particular agent and its mode and route of administration; the species, age, sex, health, medical condition, and weight of the recipient; the nature and extent of the symptoms; the kind of concurrent treatment; the frequency of treatment; the route of administration, the renal and hepatic function of the patient, and the effect desired. A physician or veterinarian can determine and prescribe the effective amount of the drug required to prevent, counter, or arrest the progress of the thromboembolic disorder.

By way of general guidance, the daily oral dosage of each active ingredient, when used for the indicated effects, will range between about 0.001 to 1000 mg/kg of body weight, preferably between about 0.01 to 100 mg/kg of body weight per day, and most preferably between about 1.0 to 20 mg/kg/day. Intravenously, the most preferred doses will range from about 1 to about 10 mg/kg/minute during a constant rate infusion. Compounds of this invention may be administered in a single daily dose, or the total daily dosage may be administered in divided doses of two, three, or four times daily.

Compounds of this invention can be administered in intranasal form via topical use of suitable intranasal vehicles, or via transdermal routes, using transdermal skin patches. When administered in the form of a transdermal delivery system, the dosage administration will, of course, be continuous rather than intermittent throughout the dosage regimen.

The compounds are typically administered in admixture with suitable pharmaceutical diluents, excipients, or carriers (collectively referred to herein as pharmaceutical carriers) suitably selected with respect to the intended form of administration, that is, oral tablets, capsules, elixirs, syrups and the like, and consistent with conventional pharmaceutical practices.

For instance, for oral administration in the form of a tablet or capsule, the active drug component can be combined with an oral, non-toxic, pharmaceutically acceptable, inert carrier such as lactose, starch, sucrose, glucose, methyl callulose, magnesium stearate, dicalcium phosphate, calcium sulfate, mannitol, sorbitol and the like; for oral administration in liquid form, the oral drug components can be combined with any oral, non-toxic, pharmaceutically acceptable inert carrier such as ethanol, glycerol, water, and the like. Moreover, when desired or necessary, suitable binders, lubricants, disintegrating agents, and coloring agents can also be incorporated into the mixture. Suitable binders include starch, gelatin, natural sugars such as glucose or beta-lactose, corn sweeteners, natural and synthetic gums such as acacia, tragacanth, or sodium alginate,

carboxymethylcellulose, polyethylene glycol, waxes, and the like. Lubricants used in these dosage forms include sodium oleate, sodium stearate, magnesium stearate, sodium benzoate, sodium acetate, sodium chloride, and the like. Disintegrators include, without limitation, starch, methyl cellulose, agar, bentonite, xanthan gum, and the like.

The compounds of the present invention can also be administered in the form of liposome delivery systems, such as small unilamellar vesicles, large unilamellar vesicles, and multilamellar vesicles. Liposomes can be formed from a variety of phospholipids, such as cholesterol, stearylamine, or phosphatidylcholines.

Compounds of the present invention may also be coupled with soluble polymers as targetable drug carriers. Such polymers can include polyvinylpyrrolidone, pyran copolymer, polyhydroxypropylmethacrylamide-phenol.

polyhydroxyethylaspartamidephenol, or polyethyleneoxidepolylysine substituted with palmitoyl residues. Furthermore, the compounds of the present invention may be coupled to a class of biodegradable polymers useful in achieving controlled release of a drug, for example, polylactic acid, polyglycolic acid, copolymers of polylactic and polyglycolic acid, polyepsilon caprolactone, polyhydroxy butyric acid, polyorthoesters, polyacetals, polydihydropyrans, polycyanoacylates, and crosslinked or amphipathic block copolymers of hydrogels.

Dosage forms (pharmaceutical compositions) suitable for administration may contain from about 1 milligram to about 100 milligrams of active ingredient per dosage unit. In these pharmaceutical compositions the active ingredient will ordinarily be present in an amount of about 0.5-95% by weight based on the total weight of the composition.

Gelatin capsules may contain the active ingredient and powdered carriers, such as lactose, starch, cellulose derivatives, magnesium stearate, stearic acid, and the like. Similar diluents can be used to make compressed tablets. Both tablets and capsules can be manufactured as sustained release products to provide for continuous release of medication over a period of hours. Compressed tablets can be sugar coated or film coated to mask any unpleasant taste and protect the tablet from the atmosphere, or enteric coated for selective disintegration in the dastrointestinal tract.

Liquid dosage forms for oral administration can contain coloring and flavoring to increase patient acceptance.

In general, water, a suitable oil, saline, aqueous dextrose (glucose), and related sugar solutions and glycols such as propylene glycol or polyethylene glycols are suitable carriers for parenteral solutions. Solutions for parenteral administration preferably contain a water soluble salt of the active ingredient, suitable stabilizing agents, and if necessary, buffer substances. Antioxidizing agents such as sodium bisulfite, sodium sulfite, or ascorbic acid, either alone or combined, are suitable stabilizing agents. Also used are citric acid and its salts and sodium EDTA. In addition.

parenteral solutions can contain preservatives, such as benzalkonium chloride, methyl- or propyl-paraben, and chlorobutanol.

Suitable pharmaceutical carriers are described in Remington's Pharmaceutical Sciences, Mack Publishing Company, a standard reference text in this field.

Representative useful pharmaceutical dosage-forms for administration of the compounds of this invention can be illustrated as follows:

Capsules

A large number of unit capsules can be prepared by filling standard two-piece hard gelatin capsules each with 100 milligrams of powdered active ingredient, 150 milligrams of lactose, 50 milligrams of cellulose, and 6 milligrams magnesium stearate.

Soft Gelatin Capsules

A mixture of active ingredient in a digestable oil such as soybean oil, cottonseed oil or olive oil may be prepared and injected by means of a positive displacement pump into gelatin to form soft gelatin capsules containing 100 milligrams of the active ingredient. The capsules should be washed and dried.

Tablets

Tablets may be prepared by conventional procedures so that the dosage unit is 100 milligrams of active ingredient, 0.2 milligrams of colloidal silicon dioxide, 5 milligrams of magnesium stearate, 275 milligrams of microcrystalline cellulose, 11 milligrams of starch and 98.8 milligrams of lactose. Appropriate coatings may be applied to increase palatability or delay absorption.

Injectable

A parenteral composition suitable for administration by injection may be prepared by stirring 1.5% by weight of active ingredient in 10% by volume propylene glycol and water. The solution should be made isotonic with sodium chloride and sterilized.

Suspension

An aqueous suspension can be prepared for oral administration so that each 5 mL contain 100 mg of finely divided active ingredient, 200 mg of sodium carboxymethyl cellulose, 5 mg of sodium benzoate, 1.0 g of sorbitol solution, U.S.P., and 0.025 mL of vanillin.

Where the compounds of this invention are combined with other anticoagulant agents, for example, a daily dosage may be about 0.1 to 100 milligrams of the compound of Formula I and about 1 to 7.5 milligrams of the second anticoagulant, per kilogram of patient body weight. For a tablet dosage form, the compounds of this invention generally may be present in an amount of about 5 to 10 milligrams per dosage unit, and the second anti-coagulant in an amount of about 1 to 5 milligrams per dosage unit.

Where the compounds of Formula I are administered in combination with an anti-platelet agent, by way of general guidance, typically a daily dosage may be about 0.01 to 25 milligrams of the compound of Formula I and about 50 to 150 milligrams of the anti-platelet agent, preferably about 0.1 to 1 milligrams of the compound of Formula I and about 1 to 3 milligrams of antiplatelet agents, per kilogram of patient body weight.

Where the compounds of Formula I are adminstered in combination with thrombolytic agent, typically a daily dosage may be about 0.1 to 1 milligrams of the compound of Formula I, per kilogram of patient body weight and, in the case of the thrombolytic agents, the usual dosage of the thrombolyic agent when administered alone may be reduced by about 70-80% when administered with a compound of Formula I.

Where two or more of the foregoing second therapeutic agents are administered with the compound of Formula I, generally the amount of each component in a typical daily dosage and typical dosage form may be reduced relative to the usual dosage of the agent when administered alone, in view of the additive or synergistic effect of the therapeutic agents when administered in combination.

Particularly when provided as a single dosage unit, the potential exists for a chemical interaction between the combined active ingredients. For this reason, when the compound of Formula I and a second therapeutic agent are combined in a single dosage unit they are formulated such that although the active ingredients are combined in a single dosage unit, the physical contact between the active ingredients is minimized (that is, reduced). For example, one active ingredient may be enteric coated. By enteric coating one of the active ingredients, it is possible not only to minimize the contact between the combined active ingredients, but also, it is possible to control the release of one of these components in the gastrointestinal tract such that one of these components is not released in the stomach but rather is released in the intestines. One of the active ingredients may also be coated with a material which effects a sustainedrelease throughout the gastrointestinal tract and also serves to minimize physical contact between the combined active ingredients. Furthermore, the sustained-released component can be additionally enteric coated such that the release of this component occurs only in the intestine. Still another approach would involve the formulation of a combination product in which the one component is coated with a sustained and/or enteric release polymer, and the other component is also coated with a polymer such as a lowviscosity grade of hydroxypropyl methylcellulose (HPMC) or other appropriate materials as known in the art, in order to further separate the active components. The polymer coating serves to form an additional barrier to interaction with the other component.

These as well as other ways of minimizing contact between the components of combination products of the present invention, whether administered in a single dosage form or administered in separate forms but at the same time by the same manner, will be readily apparent to those skilled in the art, once armed with the present disclosure.

Obviously, numerous modifications and variations of the present invention are possible in light of the above teachings. It is therefore to be understood that within the

scope of the appended claims, the invention may be practiced otherwise that as specifically described herein.

WHAT IS CLAIMED AS NEW AND DESIRED TO BE SECURED BY LETTER PATENT OF UNITED STATES IS:

1. A compound of formula I:

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or a stereoisomer or pharmaceutically acceptable salt thereof, wherein:

ring M contains, in addition to J, 0-2 N atoms;

J is O or S:

- D is selected from CN, $C(=NR^8)NR^7R^9$, $NHC(=NR^8)NR^7R^9$, $NR^8CH(=NR^7)$, $C(O)NR^7R^8$, and $(CR^8R^9)_tNR^7R^8$, provided that D is substituted meta or para to G on E;
- E is selected from phenyl, pyridyl, pyrimidyl, pyrazinyl, pyridazinyl, and piperidinyl substituted with 1 R;
- alternatively, D-E-G together represent pyridyl substituted
 with 1 R;
- R is selected from H, halogen, (CH2) $_t$ OR 3 , C1-4 alkyl, OCF3, and CF3;
- G is absent or is selected from NHCH2, OCH2, and SCH2;
- Z is selected from a C_{1-4} alkylene, $(CH_2)_TO(CH_2)_T$, $(CH_2)_TNR^3(CH_2)_T$, $(CCH_2)_TC(O)(CH_2)_T$, $(CCH_2)_TC(O)O(CH_2)_T$, $(CCH_2)_TC(O)(CCH_2)_T$, $(CCH_2)_TC(O)O(CCH_2)_T$, $(CCH_2)_TNR^3C(O)(CCH_2)_T$, $(CCH_2)_TNR^3C(O)(CCH_2)_T$, $(CCH_2)_TNR^3C(O)O(CCH_2)_T$, and

 $(CH_2)_rNR^3SO_2NR^3(CH_2)_r$, provided that Z does not form a N-N, N-O, N-S, NCH₂N, NCH₂O, or NCH₂S bond with ring M or group A;

- R^{1a} and R^{1b} are independently absent or selected from -(CH₂)_r-R^{1'}, NCH₂R^{1'}, OCH₂R^{1''}, SCH₂R^{1''}, N(CH₂)₂(CH₂)_tR^{1'}, O(CH₂)₂(CH₂)_tR^{1'}, and S(CH₂)₂(CH₂)_tR^{1'}, or combined to form a 5-8 membered saturated, partially saturated or unsaturated ring substituted with 0-2 R⁴ and which contains from 0-2 heteroatoms selected from the group consisting of N, O, and S;
- $\rm R^{1'}$ is selected from H, $\rm C_{1-3}$ alkyl, halo, $\rm (CF_2)_r CF_3$, $\rm OR^2$, $\rm NR^2 R^2 A$, $\rm C(O)\,R^2 c$, $\rm OC(O)\,R^2$, $\rm (CF_2)_r CO_2 R^2 c$, $\rm S(O)_p R^{2b}$, $\rm NR^2 (CH_2)_r OR^2$, $\rm NR^2 C(O)\,R^2 b$, $\rm NR^2 C(O)\,NH^2 C^b$, $\rm NR^2 C(O)\,NH^2 C^b$, $\rm NR^2 C(O)\,NR^2 R^2 a$, $\rm SO_2 NR^2 R^2 a$, $\rm NR^2 SO_2 R^2 b$, $\rm C_{3-6}$ carbocyclic residue substituted with 0-2 $\rm R^4$, and 5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 $\rm R^4$;
- $R^{1^{\alpha}}$ is selected from H, C(O)R^{2b}, C(O)NR²R^{2a}, S(O)R^{2b}, S(O)₂R^{2b}, and SO₂NR²R^{2a};
- R², at each occurrence, is selected from H, CF₃, C₁₋₆ alkyl, benzyl, C₃₋₆ carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};
- R^{2a} , at each occurrence, is selected from H, CF₃, C₁₋₆ alkyl, benzyl, C₃₋₆ carbocyclic residue substituted with 0-2 R^{4b} , and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b} ;
- $R^{2b},$ at each occurrence, is selected from CF3, C_{1-4} alkoxy, C_{1-6} alkyl, benzyl, C_{3-6} carbocyclic residue substituted with

0-2 R^{4b} , and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N. O. and S substituted with 0-2 R^{4b} .

- R^{2c} , at each occurrence, is selected from CF3, OH, C_{1-4} alkoxy, C_{1-6} alkyl, benzyl, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b} , and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b} ;
- alternatively, R² and R^{2a} combine to form a 5 or 6 membered saturated, partially saturated or unsaturated ring substituted with 0-2 R^{4b} which contains from 0-1 additional heteroatoms selected from the group consisting of N. O. and S:
- R^3 , at each occurrence, is selected from H, C_{1-4} alkyl, and phenyl;
- R^{3a} , at each occurrence, is selected from H, C_{1-4} alkyl, and phenvl;

A is selected from:

 C_{3-10} carbocyclic residue substituted with 0-2 R^4 , and 5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^4 :

B is selected from:

X-Y, NR^2R^{2a} , $C(=NR^2)NR^2R^{2a}$, $NR^2C(=NR^2)NR^2R^{2a}$, C_{3-10} carbocyclic residue substituted with 0-2 R^{4a} , and 5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4a} ;

% is selected from C_{1-4} alkylene, $-CR^2(CR^2R^{2b})(CH_2)_{t-}$, $-C(0)_{-}$, $-C(=NR)_{-}$, $-CR^2(NR^{1*}R^2)_{-}$, $-CR^2(OR^2)_{-}$, $-CR^2(SR^2)_{-}$, $-C(0)CR^2R^{2a}_{-}$, $-CR^2R^{2a}C(0)$, $-S(0)_p$ -, $-S(0)_pCR^2R^{2a}_{-}$,

Y is selected from:

- $(CH_2)_rNR^2R^{2a}$, provided that X-Y do not form a N-N, O-N, or S-N bond.
- C_{3-10} carbocyclic residue substituted with 0-2 R^{4a} , and 5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4a} ;
- $\begin{array}{lll} R^4, \ \mbox{at each occurrence, is selected from =0, $(CH_2)_r \mbox{OR}^2$, $halo, C_{1-4} alky1, $-CN, NO_2, $(CH_2)_r \mbox{NR}^2 \mbox{R}^2$, $(CH_2)_r \mbox{C}(0) \mbox{NR}^2 \mbox{R}^2$, $(CO) \mbox{NR}^2 \mbox{NR}^2$, $(CO) \mbox{NR}^2 \mbox{R}^2$, $NR^2 \mbox{C}(0) \mbox{NR}^2 \mbox{R}^2$, $NR^2 \mbox{S}_2 \mbox{NR}^2 \mbox{R}^2$, $NR^2 \mbox{S}_2 \mbox{NR}^2 \mbox{S}_2 \mbox{R}^2$, $(O)_p \mbox{R}^5$, $(O)_p \mbox{R}^5$, $(O^2_p \mbox{L}^2 \mbox{F}^3$, $NCH_2 \mbox{R}^1$, $OCH_2 \mbox{R}^1$, $CH_2 \mbox{R}^1$, $(CH_2)_c \mbox{C}^2$, $(CH_2)_c \mbox{R}^2$, $(CH_2)_c \m$
- alternatively, one R^4 is a 5-6 membered aromatic heterocycle containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;
- $$\begin{split} R^{4a}, & \text{ at each occurrence, is selected from =0, } (CH_2)_rOR^2, \text{ halo, } \\ & C_{1-4} \text{ alkyl, } -\text{CN, } NO_2, \\ & (CH_2)_rNR^2R^{2a}, \\ & \text{NR}^2C(0)R^{2b}, \\ & \text{C(0)}NR^2R^{2a}, \\ & \text{NR}^2C(0)R^2b, \\ & \text{C(0)}NR^2R^{2a}, \\ & \text{NR}^2C(0)R^2R^{2a}, \\ & \text{NR}^2C(0)R^2R^{2a}, \\ & \text{NR}^2SO_2RR^2R^{2a}, \\ & \text{NR}^2SO_2R^2R^{2a}, \\ & \text{NR}^2SO_2R^5, \\ & \text{S(0)}_RR^5, \\ & \text{and } (CF_2)_rCF_3; \end{split}$$
- alternatively, one R^{4a} is a 5-6 membered aromatic heterocycle containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-1 R⁵;
- $R^{4b},$ at each occurrence, is selected from =0, (CH₂) $_{r}OR^{3},$ halo, $C_{1-4} \text{ alkyl, -CN, NO}_{2}, \text{ (CH}_{2}) {}_{r}NR^{3}R^{3a}, \text{ (CH}_{2}) {}_{r}C \text{ (O)}R^{3},$

- 'R⁵, at each occurrence, is selected from CF₃, C₁₋₆ alkyl, phenyl substituted with 0-2 R⁶, and benzyl substituted with 0-2 R⁶:
- R6, at each occurrence, is selected from H, OH, $(CH_2)_rOR^2$, halo, C_{1-4} alkyl, CN, NO₂, $(CH_2)_rNR^2R^{2a}$, $(CH_2)_rC(O)R^{2b}$, $NR^2C(O)R^{2b}$, $NR^2C(O)R^{2b}$, $NR^2C(O)NR^2R^{2a}$, $CH(=NH)NH_2$, $NHC(=NH)NH_2$, $SO_2NR^2R^{2a}$, $NR^2SO_2NR^2R^{2a}$, and $NR^2SO_2C_{1-4}$ alkyl;
- R⁷, at each occurrence, is selected from H, OH, C₁₋₆ alkyl, C₁₋₆ alkylcarbonyl, C₁₋₆ alkoxy, C₁₋₄ alkoxycarbonyl, (CH₂)_n-phenyl, C₆₋₁₀ aryloxy, C₆₋₁₀ aryloxycarbonyl, C₆₋₁₀ arylmethylcarbonyl, C₁₋₄ alkylcarbonyloxy C₁₋₄ alkoxycarbonyl, C₆₋₁₀ arylcarbonyloxy C₁₋₄ alkoxycarbonyl, C₁₋₆ alkylaminocarbonyl, phenylaminocarbonyl, and phenyl C₁₋₄ alkoxycarbonyl;
- \mathbb{R}^8 , at each occurrence, is selected from H, C_{1-6} alkyl and (CH₂)_n-phenyl;
- alternatively, R^7 and R^8 combine to form a 5 or 6 membered saturated, ring which contains from 0-1 additional heteroatoms selected from the group consisting of N, O, and S:
- R^9 , at each occurrence, is selected from H, C_{1-6} alkyl and $(CH_2)_n$ -phenyl;
- n, at each occurrence, is selected from 0, 1, 2, and 3;
- m, at each occurrence, is selected from 0, 1, and 2;
- p, at each occurrence, is selected from 0, 1, and 2;

r, at each occurrence, is selected from 0, 1, 2, and 3;

s, at each occurrence, is selected from 0, 1, and 2; and,

t. at each occurrence, is selected from 0 and 1;

provided that D-E-G-(CH $_2$) $_{\rm s}-$ and -Z-A-B are not both benzamidines.

2. A compound according to Claim 1, wherein the compound is of formulae Ia-If:

wherein, groups D-E- and -Z-A-B are attached to adjacent atoms on the ring;

- Z is selected from a CH₂O, OCH₂, CH₂NH, NHCH₂, C(O), CH₂C(O), C(O)CH₂, NHC(O), C(O)NH, CH₂S(O)₂, S(O)₂(CH₂), SO₂NH, and NHSO₂, provided that Z does not form a N-N, N-O, NCH₂N, or NCH₂O bond with ring M or group A;
 - A is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R4; phenyl, piperidinyl, piperazinyl, pyridyl, pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl, pyrrolidinyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, 1,2,3-oxadiazolyl,

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1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,3,4-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl, benzofuranyl, benzothiofuranyl, indolyl, benzimidazolyl, benzoxazolyl, benzoxazolyl, benzimidazolyl, indazolyl, benzimidazolyl, benzimidazolyl, benzimidazolyl, benzimidazolyl, and isoindazolyl;
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- B is selected from: Y, X-Y, NR^2R^{2a} , $C(=NR^2)NR^2R^{2a}$, and $NR^2C(=NR^2)NR^2R^{2a}$:
- X is selected from C_{1-4} alkylene, -C(0)-, -C(=NR)-, $-CR^2(NR^2R^{2a})-$, $-C(0)CR^2R^{2a}-$, $-CR^2R^{2a}C(0)$, $-C(0)NR^2-$, $-NR^2C(0)-$, $-C(0)NR^2CR^2R^{2a}-$, $-NR^2C(0)CR^2R^{2a}-$, $-CR^2R^{2a}C(0)NR^2-$, $-CR^2R^{2a}NR^2C(0)-$, $-NR^2C(0)NR^2-$, $-NR^2-$, $-NR^2CR^{2a}-$, $-CR^2R^{2a}NR^2-$, 0, $-CR^2R^{2a}0-$, and $-OCR^2R^{2a}-$;
- Y is NR²R^{2a}, provided that X-Y do not form a N-N or O-N bond;
- alternatively, Y is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R4a; cylcopropyl, cyclopentyl, cyclohexyl, phenyl, piperidinyl, piperazinyl, pyridyl, pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl, pyrrolidinyl, oxazolyl, isoxazolyl, isoxazolinyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolvl, 1,2,5-triazolvl, 1,3,4-triazolvl, benzofuranyl, benzothiofuranyl, indolyl, benzimidazolyl, benzoxazolyl, benzthiazolyl, indazolyl, benzisoxazolyl, benzisothiazolyl, and isoindazolyl;
- alternatively, Y is selected from the following bicyclic heteroaryl ring systems:

K is selected from O, S, NH, and N.

3. A compound according to Claim 2, wherein the compound is of formulae Ib and Ic:

wherein;

J is O or S; and,

- Z is selected from a C(0), CH₂C(0), C(0)CH₂, NHC(0), C(0)NH, C(0)N(CH₃), CH₂S(0)₂, S(0)₂(CH₂), SO₂NH, and NHSO₂, provided that Z does not form a N-N or NCH₂N bond with ring M or group A.
- $4\,.$ A compound according to Claim 3, wherein the compound is of formulae Ib and Ic:

E is phenyl substituted with R or 2-pyridyl substituted with R;

- D is selected from NH_2 , $C(0)NH_2$, $C(=NH)NH_2$, CH_2NH_2 , CH_2NHCH_3 , $CH(CH_3)NH_2$, and $C(CH_3)_2NH_2$, provided that D is substituted meta or para to ring M on E; and,
- R is selected from H, OCH3, Cl, and F.
- 5. A compound according to Claim 4, wherein the compound is of formulae Ib and Ic:
- D-E is selected from 3-aminophenyl, 3-amidinophenyl, 3aminomethylphenyl, 3-aminocarbonylphenyl, 3(methylaminomethyl)phenyl, 3-(1-aminoethyl)phenyl, 3-(2amino-2-propyl)phenyl, 4-chloro-3-aminophenyl, 4-chloro3-amidinophenyl, 4-chloro-3-aminomethylphenyl, 4-chloro3-(methylaminomethyl)phenyl, 4-fluoro-3-aminophenyl, 4fluoro-3-amidinophenyl, 4-fluoro-3-aminomethylphenyl, 4fluoro-3-(methylaminomethyl)phenyl, 6-aminopyrid-2-yl, 6amidinopyrid-2-yl, 6-aminomethylpyrid-2-yl, 6aminocarbonylpyrid-2-yl, 6-(methylaminomethyl)pyrid-2-yl,
 6-(1-aminoethyl)pyrid-2-yl, and 6-(2-amino-2propyl)pyrid-2-yl.
- 6. A compound according to Claim 3, wherein the compound is of formulae Ib and Ic:
- Z is C(0)CH₂ and CONH, provided that Z does not form a N-N bond with group A;
- A is selected from phenyl, pyridyl, and pyrimidyl, and is substituted with $0-2\ R^4$; and,

B is selected from X-Y, phenyl, pyrrolidino, morpholino, $1,2,3\text{-triazolyl, and imidazolyl, and is substituted with }0\text{--}1\ R^{4a};$

- R^4 , at each occurrence, is selected from OH, $(CH_2)_rOR^2$, halo, C_{1-4} alkyl, $(CH_2)_rNR^2R^{2a}$, and $(CF_2)_rCF_3$;
- R^{4a} is selected from C_{1-4} alkyl, CF3, S(0) $_pR^5,$ SO $_2NR^2R^{2a},$ and $1-CF_3-tetrazol-2-yl;$
- R^5 , at each occurrence, is selected from CF_3 , C_{1-6} alkyl, phenyl, and benzyl;
- X is CH2 or C(O); and,
- Y is selected from pyrrolidino and morpholino.
- 7. A compound according to Claim 6, wherein the compound is of formulae Ib and Ic:
- A is selected from the group: phenyl, 2-pyridyl, 3-pyridyl, 2-pyrimidyl, 2-Cl-phenyl, 3-Cl-phenyl, 2-F-phenyl, 3-F-phenyl, 2-methylphenyl, 2-aminophenyl, and 2-methoxyphenyl; and,
- B is selected from the group: 2-CF3-phenyl, 2-(aminosulfonyl)phenyl, 2-(methylaminosulfonyl)phenyl, 2-(dimethylaminosulfonyl)phenyl, 1-pyrrolidinocarbonyl, 2-(methylsulfonyl)phenyl, 4-morpholino, 2-(1'-CF3-tetrazol-2-yl)phenyl, 4-morpholinocarbonyl, 2-methyl-1-imidazolyl, 5-methyl-1-imidazolyl, 2-methylsulfonyl-1-imidazolyl and, 5-methyl-1,2,3-triazolyl.
- 8. A compound according to Claim 3, wherein the compound is of formulae Ib and $\operatorname{Ic}\colon$

 ${\tt E}$ is phenyl substituted with R or 2-pyridyl substituted with R:

- D is selected from NH₂, C(0)NH₂, C(=NH)NH₂, CH₂NH₂, CH₂NHCH₃, CH(CH₃)NH₂, and C(CH₃)₂NH₂, provided that D is substituted meta or para to ring M on E; and,
- R is selected from H, OCH3, Cl, and F;
- Z is C(0)CH₂ and CONH, provided that Z does not form a N-N bond with group A;
- A is selected from phenyl, pyridyl, and pyrimidyl, and is substituted with $0-2\ R^4$; and,
- B is selected from X-Y, phenyl, pyrrolidino, morpholino, $1,2,3\text{-triazolyl}, \text{ and imidazolyl}, \text{ and is substituted with } 0\text{--}1 \text{ } R^{4a};$
- R^4 , at each occurrence, is selected from OH, $(CH_2)_rOR^2$, halo, C_{1-4} alkyl, $(CH_2)_rNR^2R^{2a}$, and $(CF_2)_rCF_3$;
- R^{4a} is selected from C_{1-4} alkyl, CF_3 , $S(0)_pR^5$, $SO_2NR^2R^{2a}$, and $1-CF_3-tetrazol-2-yl;$
- R⁵, at each occurrence, is selected from CF₃, C₁₋₆ alkyl, phenyl, and benzyl;
- X is CH2 or C(O); and,
- Y is selected from pyrrolidino and morpholino.
- 9. A compound according to Claim 8, wherein the compound is of formulae Ib and Ic:
- D-E is selected from 3-aminophenyl, 3-amidinophenyl, 3-aminomethylphenyl, 3-aminocarbonylphenyl, 3-

(methylaminomethyl)phenyl, 3-(1-aminoethyl)phenyl, 3-(2-amino-2-propyl)phenyl, 4-chloro-3-aminophenyl, 4-chloro-3-amidinophenyl, 4-chloro-3-amidinophenyl, 4-chloro-3-(methylaminomethyl)phenyl, 4-fluoro-3-aminophenyl, 4-fluoro-3-aminophenyl, 4-fluoro-3-aminophenyl, 4-fluoro-3-aminophenyl, 4-fluoro-3-aminophenyl, 4-fluoro-3-aminophenyl, 6-aminopyrid-2-yl, 6-aminopyrid-2-yl, 6-aminopyrid-2-yl, 6-(methylaminomethyl)pyrid-2-yl, 6-aminocarbonylpyrid-2-yl, 6-(methylaminomethyl)pyrid-2-yl, 6-(1-aminoethyl)pyrid-2-yl, 6-(2-amino-2-propyl)pyrid-2-yl;

- A is selected from the group: phenyl, 2-pyridyl, 3-pyridyl, 2-pyrimidyl, 2-Cl-phenyl, 3-Cl-phenyl, 2-F-phenyl, 3-F-phenyl, 2-methylphenyl, 2-aminophenyl, and 2-methoxyphenyl; and,
- B is selected from the group: 2-CF3-phenyl, 2(aminosulfonyl)phenyl, 2-(methylaminosulfonyl)phenyl, 2(dimethylaminosulfonyl)phenyl, 1-pyrrolidinocarbonyl, 2(methylsulfonyl)phenyl, 4-morpholino, 2-(1'-CF3-tetrazol2-yl)phenyl, 4-morpholinocarbonyl, 2-methyl-1-imidazolyl,
 5-methyl-1-imidazolyl, 2-methylsulfonyl-1-imidazolyl and,
 5-methyl-1,2,3-triazolyl.
- 10. A compound according to Claim 9, wherein the compound is of formula ${\tt Ib1}$.
- 11. A compound according to Claim 9, wherein the compound is of formula Ib2.
- 12. A compound according to Claim 9, wherein the compound is of formula ${\tt Ib_3}$.

13. A compound according to Claim 9, wherein the compound is of formula ${\ \, {
m Ib}_4}$.

- 14. A compound according to Claim 9, wherein the compound is of formula ${\tt Ic_1}$.
- 15. A compound according to Claim 9, wherein the compound is of formula Ic2.
- 16. A compound according to Claim 3, wherein the compound is of formulae Ib and Ic:
- D is selected from $C(=NR^8)NR^7R^9$, $C(O)NR^7R^8$, NR^7R^8 , and $CH_2NR^7R^8$, provided that D is substituted meta or para to ring M on E;
- E is phenyl substituted with R or pyridyl substituted with R;
- R is selected from H, Cl, F, OR^3 , CH_3 , CH_2CH_3 , OCF_3 , and CF_3 ;
- Z is selected from C(0), CH₂C(0), C(0)CH₂, NHC(0), and C(0)NH, provided that Z does not form a N-N bond with ring M or group A;
- R^{1a} and R^{1b} are independently absent or selected from $-(CH_2)_T-R^{1'},\ NCH_2R^{1'},\ OCH_2R^{1'},\ SCH_2R^{1'},\ N(CH_2)_2(CH_2)_LR^{1'},$ $O(CH_2)_2(CH_2)_LR^{1'},\ and\ S(CH_2)_2(CH_2)_LR^{1'},\ or\ combined\ to\ form$ a 5-8 membered saturated, partially saturated or unsaturated ring substituted with 0-2 R^4 and which contains from 0-2 heteroatoms selected from the group consisting of N, O, and S;
- R^{1} ', at each occurrence, is selected from H, C_{1-3} alkyl, halo, $(CF_2)_TCF_3,\ OR^2,\ NR^2R^{2a},\ C(0)R^{2c},\ (CF_2)_TCO_2R^{2c},\ S(0)_TR^{2b},$

- A is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R⁴; phenyl, piperidinyl, piperazinyl, pyridyl, pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl, pyrrolidinyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, and imidazolyl;
- B is selected from: Y, X-Y, NR^2R^{2a} , $C(=NR^2)NR^2R^{2a}$, and $NR^2C(=NR^2)NR^2R^{2a}$;
- X is selected from CH2, -CR2(CR2R2b)(CH2)t-, -C(O)-, -C(=NR)-, -CH(NR2R2a)-, -C(O)NR2-, -NR2C(O)-, -NR2C(O)NR2-, -NR2-, and O;
- Y is NR²R^{2a}, provided that X-Y do not form a N-N or O-N bond;
- alternatively, Y is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R^{4a} ;

phenyl, piperidinyl, piperazinyl, pyridyl, pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl, pyrrolidinyl, oxazolyl, isoxazolyl, isoxazolinyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,4-thiadiazolyl, 1,2,3-triazolyl, 1,2,3-triazolyl, 1,2,3-triazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, and 1,3,4-triazolyl;

 $\rm R^4$, at each occurrence, is selected from =0, OH, C1, F, C₁₋₄ alkyl, (CH₂) $_{\rm r}\rm NR^2R^2a$, (CH₂) $_{\rm r}\rm C(0)R^{2b}$, NR²C(0)R^{2b}, C(0)NR²R^{2a}, CH(=NH)NH₂, NHC(=NH)NH₂, SO₂NR²R^{2a}, NR²SO₂-C₁₋₄ alkyl, NR²SO₂R⁵, S(0) $_{\rm p}\rm R^5$, and (CF₂) $_{\rm r}\rm CF_3$;

- $R^5,$ at each occurrence, is selected from $CF_3,\ C_{1-6}$ alkyl, phenyl substituted with 0-2 $R^6,$ and benzyl substituted with 0-2 $R^6;$
- R6, at each occurrence, is selected from H, =0, OH, OR2, Cl, F, CH3, CN, NO2, (CH2) $_{\rm r}$ NR2R2a, (CH2) $_{\rm r}$ C(O)R2b, NR2C(O)R2b, CH(=NH)NH2, NHC(=NH)NH2, and SO2NR2R2a,
- R⁷, at each occurrence, is selected from H, OH, C₁₋₆ alkyl, C₁₋₆ alkylcarbonyl, C₁₋₆ alkoxy, C₁₋₄ alkoxycarbonyl, benzyl, C₆₋₁₀ aryloxy, C₆₋₁₀ aryloxycarbonyl, C₆₋₁₀ arylmethylcarbonyl, C₁₋₄ alkylcarbonyloxy C₁₋₄ alkoxycarbonyl, C₆₋₁₀ arylcarbonyloxy C₁₋₄ alkoxycarbonyl, C₁₋₆ alkylaminocarbonyl, phenylaminocarbonyl, and phenyl C₁₋₄ alkoxycarbonyl;
- R^8 , at each occurrence, is selected from H, C_{1-6} alkyl and benzvl; and
- alternatively, $\ensuremath{\mathsf{R}}^7$ and $\ensuremath{\mathsf{R}}^8$ combine to form a morpholino group; and.
- R^9 , at each occurrence, is selected from H, C_{1-6} alkyl and benzyl.
- 17. A compound according to Claim 16, wherein the compound is of formulae Ib and Ic:
- E is phenyl substituted with R or 2-pyridyl substituted with R;
- R is selected from H, Cl, F, OCH3, CH3, OCF3, and CF3;

Z is selected from a C(O)CH₂ and C(O)NH, provided that Z does not form a N-N bond with group A;

- $\begin{array}{l} R^{1a} \text{ is selected from H, CH}_3, \ CH}_2CH_3, \ Cl. \ F, \ CF}_3, \ OCH}_3, \ NR^2R^{2a}, \\ S(O)_pR^{2b}, \ CH}_2S(O)_pR^{2b}, \ CH}_2S(O)_pR^{2b}, \ C(O)R^{2c}, \ CH}_2C(O)R^{2c}, \\ C(O)NR^2R^{2a}, \ and \ SO}_2NR^2R^{2a}; \end{array}$
- R^{1b} is selected from H, CH₃, CH₂CH₃, Cl. F, CF₃, OCH₃, NR²R^{2a}, S(O)_pR^{2b}, CH₂S(O)_pR^{2b}, CH₂NR²S(O)_pR^{2b}, C(O)R^{2c}, CH₂C(O)R^{2c}, C(O)NR²R^{2a}, and SO₂NR²R^{2a};
- A is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R⁴; phenyl, pyridyl, pyrimidyl, furanyl, thiophenyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, and imidazolyl;
- B is selected from: Y and X-Y:
- X is selected from CH₂, -CR²(CR²R²b)-, -C(0)-, -C(=NR)-, -CH(NR²R²a)-, -C(0)NR²-, -NR²C(0)-, -NR²C(0)NR²-, -NR²-, and 0;
- Y is NR2R2a, provided that X-Y do not form a N-N or O-N bond;
- alternatively, Y is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 \mathbb{R}^{4a} :

phenyl, piperidinyl, piperazinyl, pyridyl, pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl, pyrrolidinyl, oxazolyl, isoxazolyl, isoxazolinyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,4-thiadiazolyl, 1,2,3-triazolyl, 1,2,5-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,4-triazolyl, 1,2,4-triazolyl, 1,2,4-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, and 1,3,4-triazolyl;

 \mathbb{R}^2 , at each occurrence, is selected from H, \mathbb{CF}_3 , \mathbb{CH}_3 , benzyl, and phenvl:

- R^{2a} , at each occurrence, is selected from H, CF3, CH3, benzyl, and phenvl;
- R^{2b}, at each occurrence, is selected from CF₃, OCH₃, CH₃, benzyl, and phenyl;
- R^{2c}, at each occurrence, is selected from CF₃, OH, OCH₃, CH₃, benzyl, and phenyl;
- alternatively, R^2 and R^{2a} combine to form a 5 or 6 membered saturated, partially unsaturated, or unsaturated ring which contains from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;
- R³, at each occurrence, is selected from H, CH₃, CH₂CH₃, and phenyl;
- R^{3a}, at each occurrence, is selected from H, CH₃, CH₂CH₃, and phenyl;
- R^4 , at each occurrence, is selected from OH, Cl, F, CH₃, CH_2CH_3 , NR^2R^{2a} , $CH_2NR^2R^{2a}$, $C(0)R^{2b}$, $NR^2C(0)R^{2b}$, $C(0)NR^2R^{2a}$, and CF_3 ;
- $R^{4a},$ at each occurrence, is selected from OH, Cl, F, CH₃, $CH_2CH_3, \ NR^2R^{2a}, \ CH_2NR^2R^{2a}, \ C(0)R^{2b}, \ C(0)NR^2R^{2a}, \ SO_2NR^2R^{2a}, \\ S(0)_pR^5, \ CF_3, \ and \ 1-CF_3-tetrazol-2-yl;$
- R^5 , at each occurrence, is selected from CF_3 , C_{1-6} alkyl, phenyl substituted with 0-2 R^6 , and benzyl substituted with 1 R^6 ;
- R^6 , at each occurrence, is selected from H, OH, OCH₃, Cl, F, CH₃, CN, NO₂, NR²R^{2a}, CH₂NR²R^{2a}, and SO₂NR²R^{2a};

R⁷, at each occurrence, is selected from H, OH, C₁₋₃ alkyl, C₁₋₃ alkylcarbonyl, C₁₋₃ alkoxy, C₁₋₄ alkoxycarbonyl, benzyl, phenoxy, phenoxycarbonyl, benzylcarbonyl, C₁₋₄ alkylcarbonyloxy C₁₋₄ alkoxycarbonyl, phenylcarbonyloxy C₁₋₄ alkoxycarbonyl, C₁₋₆ alkylaminocarbonyl, phenylaminocarbonyl, and phenyl C₁₋₄ alkoxycarbonyl;

- R^8 , at each occurrence, is selected from H, CH_3 , and benzyl; and.
- alternatively, R7 and R8 combine to form a morpholino group;
- R⁹, at each occurrence, is selected from H, CH₃, and benzyl.
- 18. A compound according to Claim 17, wherein the compound is of formulae Ib and Ic:
- R^{1a} is absent or is selected from H, CH_3 , CH_2CH_3 , C1, F, CF_3 , OCH_3 , NR^2R^{2a} , $S(O)_pR^{2b}$, $C(O)NR^2R^{2a}$, $CH_2S(O)_pR^{2b}$, $CH_2NR^2S(O)_pR^{2b}$, $C(O)R^{2c}$, $CH_2C(O)R^{2c}$, and $SO_2NR^2R^{2a}$;
- R^{1b} is absent or is selected from H, CH₃, CH₂CH₃, Cl, F, CF₃, OCH₃, NR²R^{2a}, S(O)_pR^{2b}, C(O)NR²R^{2a}, CH₂S(O)_pR^{2b}, CH₃NR²S(O)_pR^{2b}, C(O)R^{2b}, and SO₂NR²R^{2a};
- A is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R4; phenyl, pyridyl, and pyrimidyl;
- B is selected from: Y and X-Y;
- X is selected from -C(0) and 0;
- Y is NR²R^{2a}, provided that X-Y do not form a O-N bond;

alternatively, Y is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R^{4a};

phenyl, piperazinyl, pyridyl, pyrimidyl,

phenyl, piperazinyl, pyridyl, pyrimidyl, morpholinyl, pyrrolidinyl, imidazolyl, and 1,2,3triazolyl;

- R², at each occurrence, is selected from H, CF₃, CH₃, benzyl, and phenyl:
- R^{2a} , at each occurrence, is selected from H, CF_3 , CH_3 , benzyl, and phenyl;
- R^{2b}, at each occurrence, is selected from CF₃, OCH₃, CH₃, benzyl, and phenyl;
- R^{2c}, at each occurrence, is selected from CF₃, OH, OCH₃, CH₃, benzyl, and phenyl;
- alternatively, R² and R^{2a} combine to form a ring system selected from pyrrolidinyl, piperazinyl and morpholino:
- R^4 , at each occurrence, is selected from Cl, F, CH_3 , NR^2R^{2a} , and CF_3 ;
- $R^{4a},$ at each occurrence, is selected from Cl, F, CH3, $SO_2NR^2R^{2a},\ S(O)_pR^5,\ and\ CF_3;\ and,$
- R5, at each occurrence, is selected from CF3 and CH3.
- 19. A compound according to Claim 1, wherein the compound is selected from the group:
- 3-(3-amidinophenyl)-4-[(2'-aminosulfonyl-[1,1']-biphen-4yl)aminocarbonyl]-5-(hydroxymethyl)isoxazole;

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3-(3-amidinophenyl)-4-[(2'-aminosulfonyl-[1,1']-biphen-4-
yl)aminocarbonyl]isoxazole;
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- 3-(3-amidinophenyl)-4-[(2'-methylsulfonyl-[1,1']-biphen-4yl)aminocarbonyl]isoxazole;
- 3-(3-amidinophenyl)-4-[5-(2-aminosulfonyl)phenylpyrid-2yl)aminocarbonyl]-5-(methoxymethyl)isoxazole;
- 3-(3-amidinophenyl)-4-[(2'-trifluoromethyl-[1,1']-biphen-4yl)aminocarbonyl)isoxazole;
- 3-(3-amidinophenyl)-4-[(2'-aminosulfonyl-[1,1']-biphen-4yl)aminocarbonyl]-5-(trifluoromethyl)isoxazole;
- 2-acetylamino-4-(3-amidinophenyl)-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;
- 2-amino-4-(3-amidinopheny1)-5-[(2'-aminosulfony1-[1,1']biphen-4-y1)aminocarbony1]thiazole;
- 2-methyl-4-(3-amidinophenyl)-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;
- 5-(3-amidinopheny1)-4-[(2'-aminosulfony1-[1,1']-biphen-4y1)aminocarbony1]oxazole;
- 3-(3-amidinophenyl)-4-[(2'-t-butylaminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]isoxazole;
- 3-(3-amidinophenyl)-4-[(2'-aminosulfonyl-[1,1']-biphen-4yl)aminocarbonyl]-5-(methoxymethyl)-isoxazole;
- 3-(3-amidinophenyl)-4-[(2'-t-butylaminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]isoxazole;
- 3-(3-amidinopheny1)-4-[(2'-aminosulfony1-[1,1']-biphen-4y1) aminocarbony1]-5-(methoxymethy1) isoxazole;

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2-methyl-4-(3-amidinophenyl)-5-[(2'-trifluoromethyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;
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- 2-phenyl-4-(3-amidinophenyl)-5-[(2'-aminosulfonyl-[1,1']biphen-4-vl)aminocarbonvl]thiazole;
- 3-(3-amidinophenyl)-4-[(3-fluoro-2'-methylsulfonyl-[1,1']biphen-4-yl)aminocarbonyl]isoxazole;
- 3-(3-amidinophenyl)-4-[(2'-trifluoromethylthio-[1,1']-biphen-4-yl)aminocarbonyl)isoxazole;
- 3-(3-amidinophenyl)-5-amino-4-[(2'-aminosulfonyl-[1,1']biphen-4-vl)aminocarbonvllisoxazole;
- 2-(phenylamino)-4-(3-amidinophenyl)-5-[(2'-aminosulfonyl[1,1']-biphen-4-yl)aminocarbonyl]thiazole;
- 2-(benzylamino)-4-(3-amidinophenyl)-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;
- 2-(methylamino)-4-(3-amidinophenyl)-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;
- $2-(\texttt{methylamino}) 4 (3 \texttt{carboxamidophenyl}) 5 [(2'-\texttt{aminosulfonyl-} [1,1']-\texttt{biphen-} 4-\texttt{yl}) \\ \texttt{aminocarbonyl}] \\ \texttt{thiazole};$
- 2-methyl-4-(3-amidinophenyl)-5-[[5-(2'-aminosulfonylphenyl-1yl)pyridin-2-yl]aminocarbonyl]thiazole;
- 2-methyl-4-(3-(carboxamido)phenyl)-5-[[5-(2'aminosulfonylphenyl-1-yl)pyridin-2yl]aminocarbonyl]thiazole;
- 2-(3-pyridyl)-4-(3-amidinophenyl)-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;

2-(3-pyridyl)-4-(3-carboxamidophenyl)-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;

- 2-chloro-4-(3-amidinophenyl)-5-[(2'-aminosulfonyl-[1,1']biphen-4-yl)aminocarbonyl]thiazole;
- 2-chloro-4-(3-carboxamidophenyl)-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;
- 2-chloro-4-(3-amidinophenyl)-5-[[5-(2'-aminosulfonylphenyl-1yl)pyridin-2-yl]aminocarbonyl]thiazole;
- 2-chloro-4-(3-(carboxamido)pheny1)-5-[[5-(2'aminosulfonylpheny1-1-y1)pyridin-2y1]aminocarbony1]thiazole;
- 2-hydroxy-4-(3-amidinophenyl)-5-[{5-(2'-aminosulfonylphenyl-1yl)pyridin-2-yl]aminocarbonyl]thiazole;
- 2-chloro-4-(3-aminophenyl)-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;
- 2-amino-4-[(3-amino-4-chloro)phenyl]-5-[(2'-aminosulfonyl[1,1']-biphen-4-yl)aminocarbonyl]thiazole;
- 2-chloro-4-[(3-amino-4-chloro)phenyl]-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole; and,
- 2-amino-4-[(3-aminomethyl)phenyl]-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;
- and a pharmaceutically acceptable salt thereof.
- 20. A pharmaceutical composition, comprising: a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound according to Claim 1 or a pharmaceutically acceptable salt thereof.

21. A method for treating or preventing a thromboembolic disorder, comprising: administering to a patient in need thereof a therapeutically effective amount of a compound according to Claim 1 or a pharmaceutically acceptable salt thereof.